10/325,109

STN clam
5/29/07

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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 7	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 8	JAN 29	PHAR reloaded with new search and display fields
NEWS 9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13	FEB 26	MEDLINE reloaded with enhancements
NEWS 14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS 15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19	MAR 16	CASREACT coverage extended
NEWS 20	MAR 20	MARPAT now updated daily
NEWS 21	MAR 22	LWPI reloaded
NEWS 22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS 23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS 24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS 26	APR 30	CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS 28	MAY 01	New CAS web site launched
NEWS 29	MAY 08	CA/CAplus Indian patent publication number format defined
NEWS 30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS 32	MAY 21	TOXCENTER enhanced with BIOSIS reload
NEWS 33	MAY 21	CA/CAplus enhanced with additional kind codes for German patents
NEWS 34	MAY 22	CA/CAplus enhanced with IPC reclassification in Japanese patents

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

```
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN     Welcome Banner and News Items
 NEWS IPC8      For general information regarding STN implementation of IPC 8

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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007

=> FIL REGISTRY
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

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STRUCTURE FILE UPDATES:   28 MAY 2007  HIGHEST RN 935999-19-2
DICTIONARY FILE UPDATES:  28 MAY 2007  HIGHEST RN 935999-19-2

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http://www.cas.org/support/stngen/stndoc/properties.html

*** YOU HAVE NEW MAIL ***

=>
Uploading C:\Program Files\Stnexp\Queries\10525109.str
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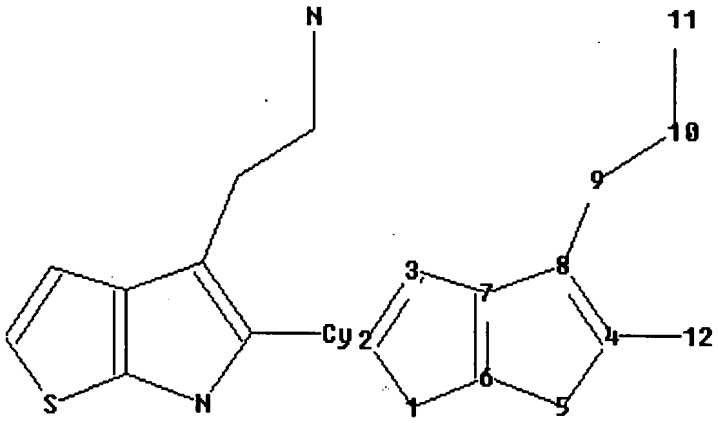
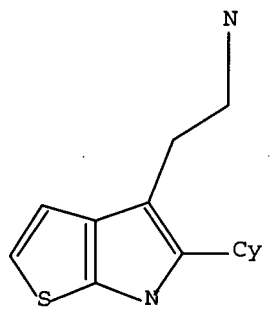


chain nodes :
9 10 11 12
ring nodes :
1 2 3 4 5 6 7 8
chain bonds :
4-12 8-9 9-10 10-11
ring bonds :
1-2 1-6 2-3 3-7 4-5 4-8 5-6 6-7 7-8
exact/norm bonds :
1-2 1-6 2-3 3-7 4-5 4-8 4-12 5-6 6-7 7-8 10-11
exact bonds :
8-9 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 10:00:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       39 TO ITERATE

100.0% PROCESSED       39 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          406 TO     1154
PROJECTED ANSWERS:               3 TO      163

L2             3 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:00:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      738 TO ITERATE

100.0% PROCESSED      738 ITERATIONS                               82 ANSWERS
SEARCH TIME: 00.00.01

L3             82 SEA SSS FUL L1

=>
Uploading C:\Program Files\Stnexp\Queries\10525109a.str
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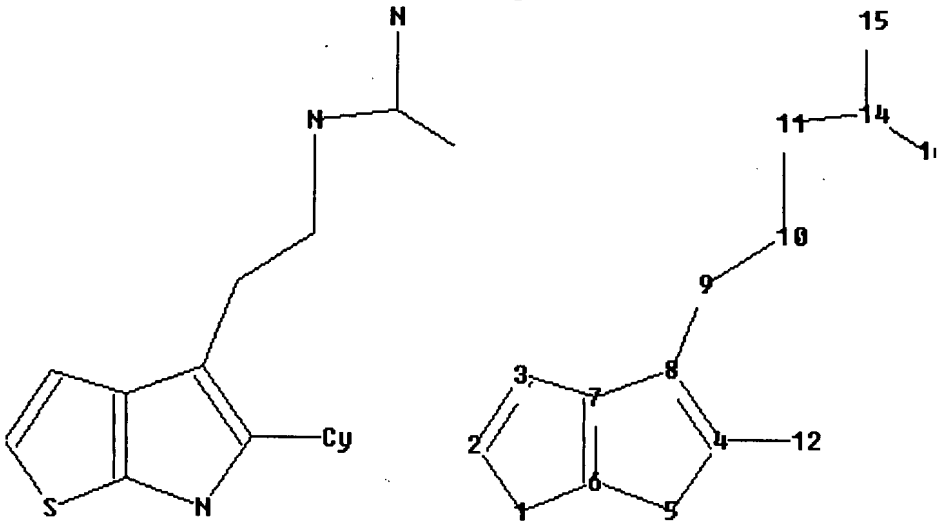


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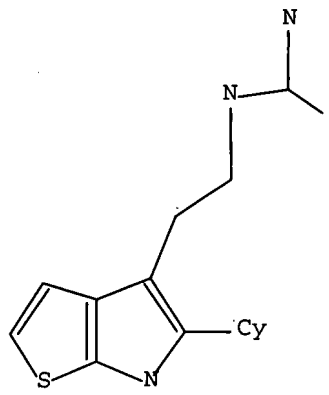
chain nodes :
9  10  11  12  14  15  16
ring nodes :
1  2  3  4  5  6  7  8
chain bonds :
4-12  8-9  9-10  10-11  11-14  14-15  14-16
ring bonds :
1-2  1-6  2-3  3-7  4-5  4-8  5-6  6-7  7-8
exact/norm bonds :
1-2  1-6  2-3  3-7  4-5  4-8  4-12  5-6  6-7  7-8  10-11  11-14  14-15
exact bonds :
8-9  9-10  14-16
isolated ring systems :
containing 1 :
```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom 14:CLASS 15:CLASS 16:CLASS

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 10:03:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=> s l4 sss full
FULL SEARCH INITIATED 10:03:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L6 0 SEA SSS FUL L4

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 345.55 345.76

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007
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FILE COVERS 1907 - 29 May 2007 VOL 146 ISS 23
FILE LAST UPDATED: 28 May 2007 (20070528/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

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=> d his

(FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007)

FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 82 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007

=> s l3
L7 4 L3

=> d l7 ibib abs hit stra tot
'STRA' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data

```
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

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to view a specified Accession Number.
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=> d l7 ibib abs hitstr

L7   ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:         2005:962266  CAPLUS Full-text
DOCUMENT NUMBER:          143:266908
TITLE:                    Preparation of substituted thieno[2,3-b]pyrroles as
                          antagonists of GnRH
INVENTOR(S):              Arnould, Jean-Claude; Harris, Craig Steven; Jones,
                          Paul
PATENT ASSIGNEE(S):       Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE:                   PCT Int. Appl., 98 pp.
                          CODEN: PIXXD2
```

Inventor

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080402	A1	20050901	WO 2005-GB568	20050217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1730155	A1	20061213	EP 2005-708374	20050217
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1942479	A	20070404	CN 2005-80011860	20050217
IN 2006DN04892	A	20070427	IN 2006-DN4892	20060824
PRIORITY APPLN. INFO.:			EP 2004-290466 A	20040220
			WO 2005-GB568 W	20050217

OTHER SOURCE(S): MARPAT 143:266908
GI

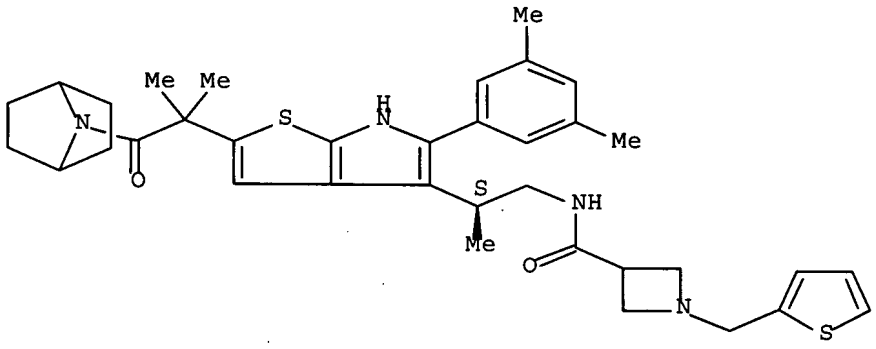
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, halo; R5 = alkylcarboxamido, carboxamido, acyl, etc.] are prepared For instance, II is prepared in 3 steps from III, 3-benzhydrylazetidin-3-carboxylic acid and 2-formylthiophene. Compds. of the invention have GnRH activity at a concentration of 1 nM to 5 μM. I are useful for treating a sex hormone related condition.

IT **863599-44-4P 863599-45-5P 863599-46-6P**
863599-47-7P 863599-48-8P 863599-49-9P
863599-50-2P 863599-51-3P 863599-52-4P
863599-53-5P 863599-54-6P 863599-55-7P
863599-56-8P 863599-57-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 863599-44-4 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-45-5 CAPLUS
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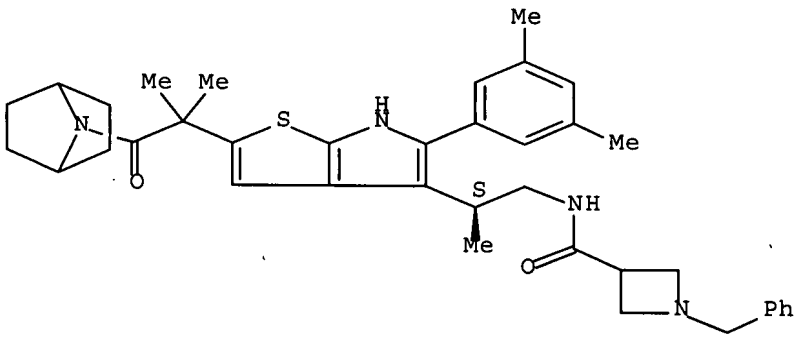
Absolute stereochemistry.



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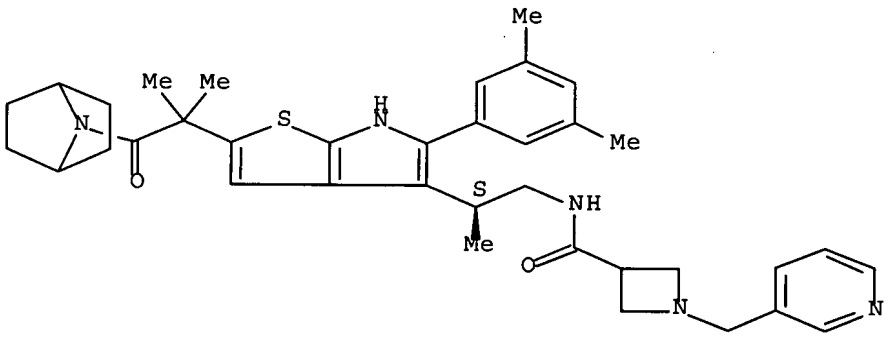
Absolute stereochemistry.



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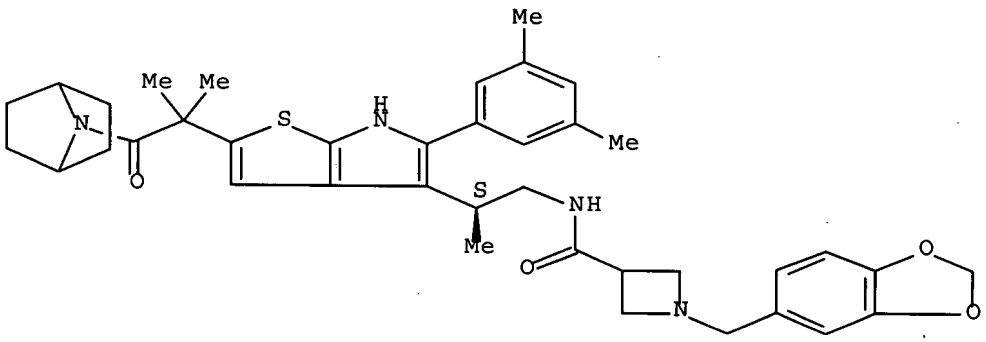
dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-48-8 CAPLUS

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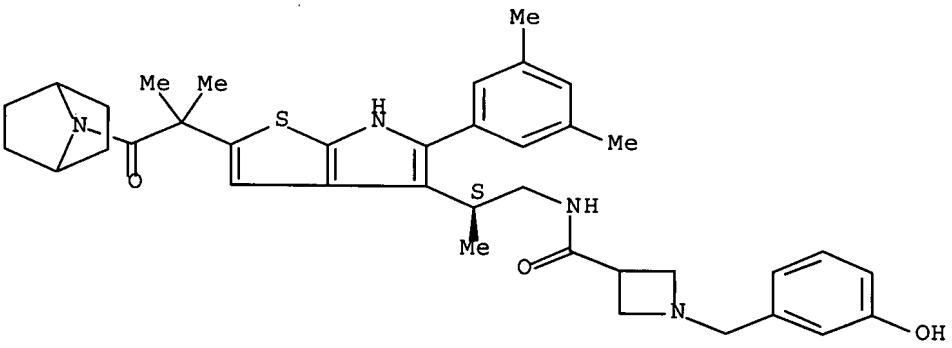
Absolute stereochemistry.



RN 863599-49-9 CAPLUS

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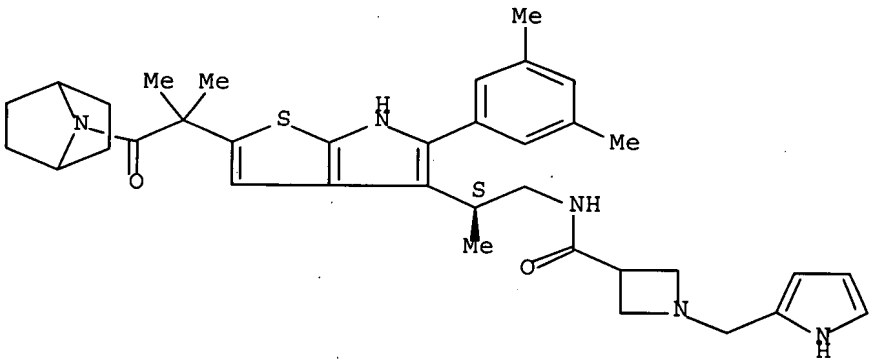
Absolute stereochemistry.



RN 863599-50-2 CAPLUS
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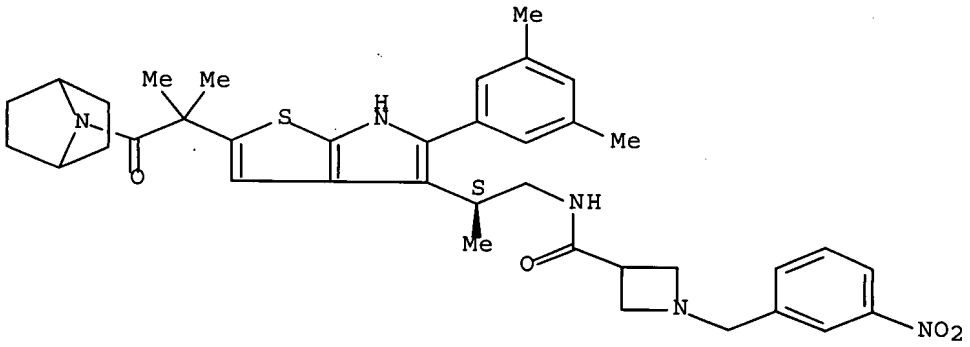
Absolute stereochemistry.



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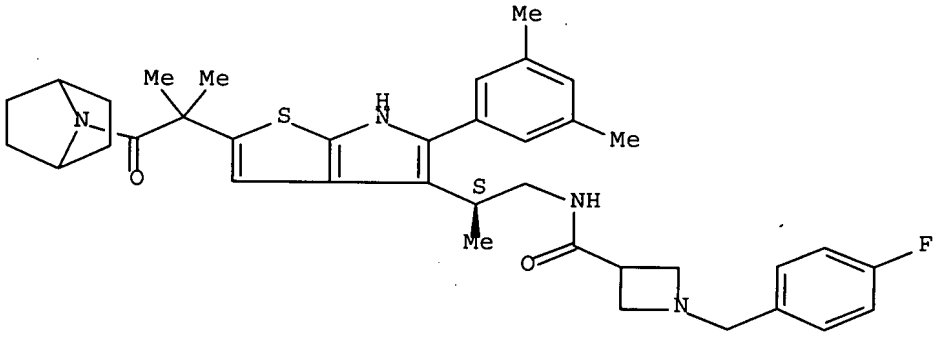
Absolute stereochemistry.



RN 863599-52-4 CAPLUS

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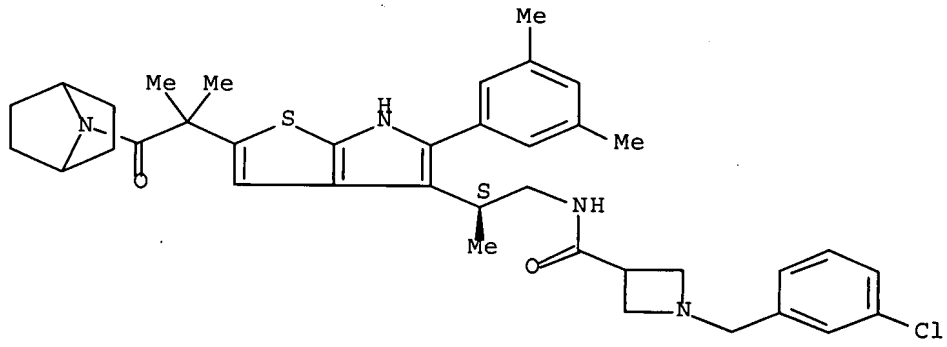
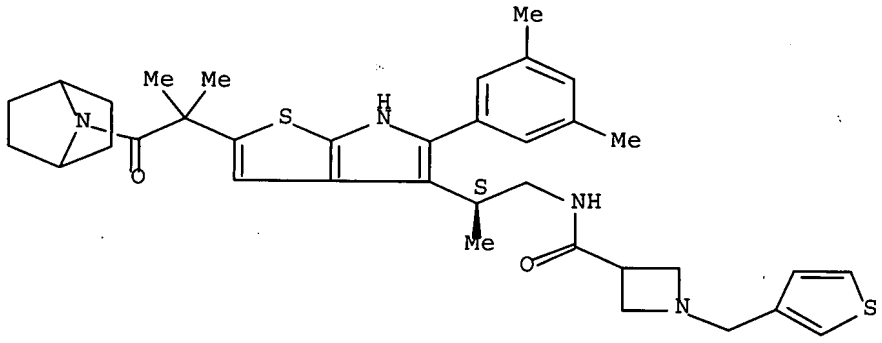
Absolute stereochemistry.



RN 863599-53-5 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-thienylmethyl)- (9CI) (CA INDEX NAME)

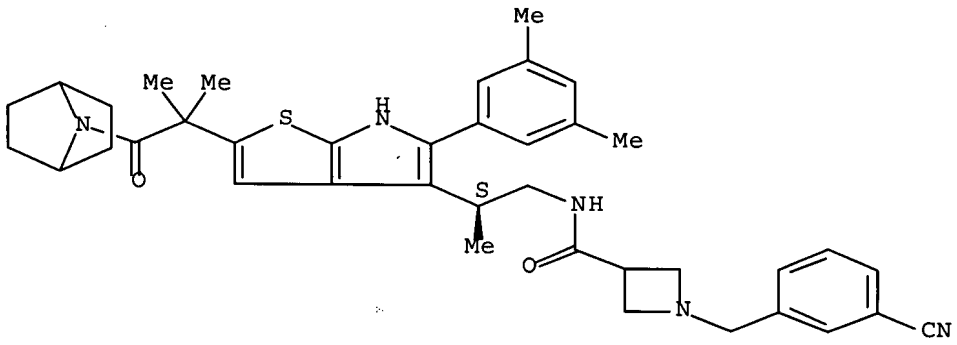
Absolute stereochemistry.



RN 863599-54-6 CAPLUS

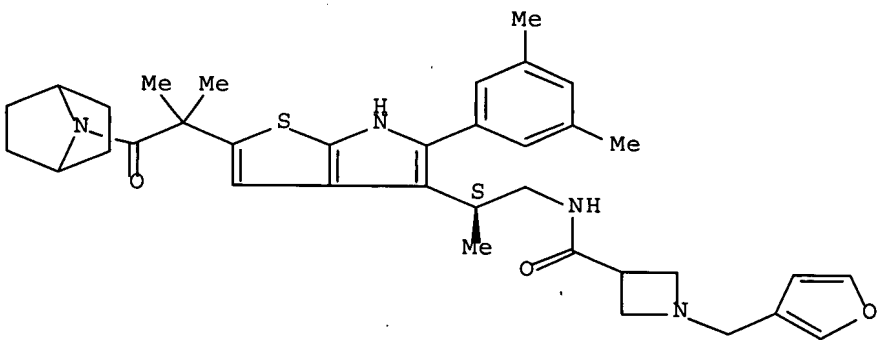
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-cyanophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



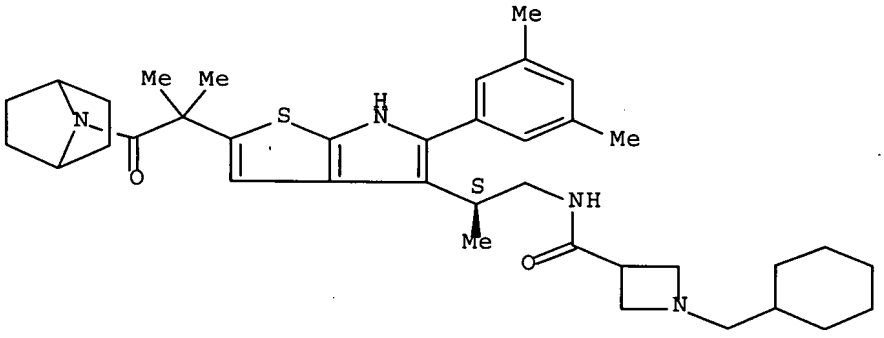
RN 863599-55-7 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-furanylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-56-8 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

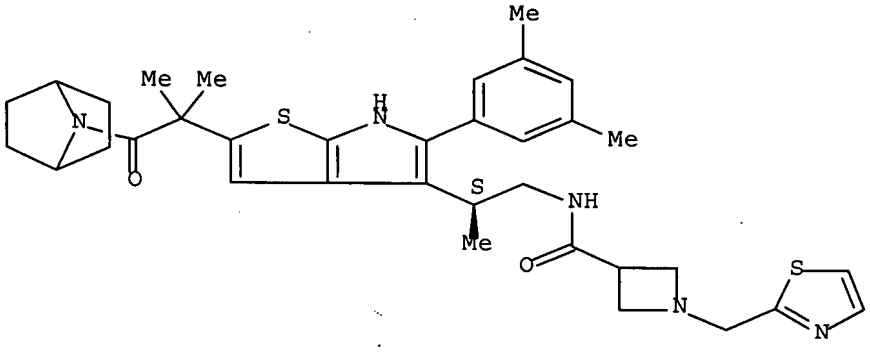
Absolute stereochemistry.



RN 863599-57-9 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-

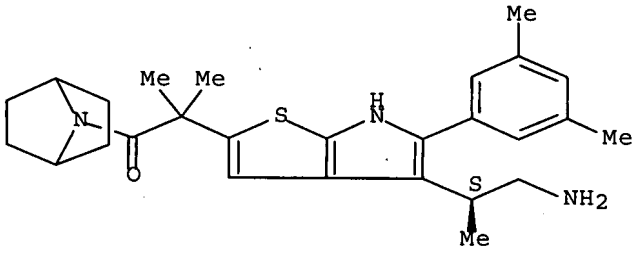
dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



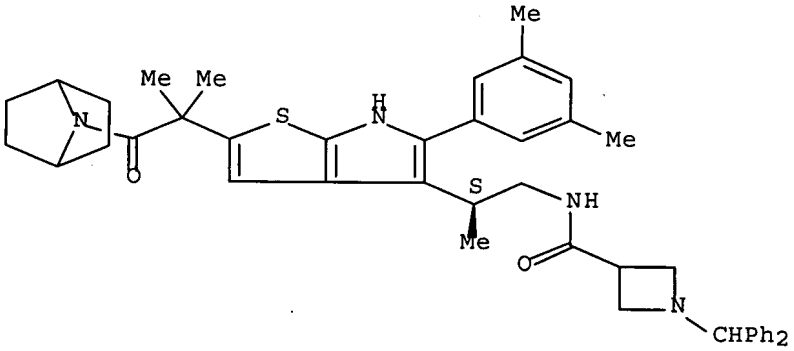
IT **667940-67-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)
RN 667940-67-2 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



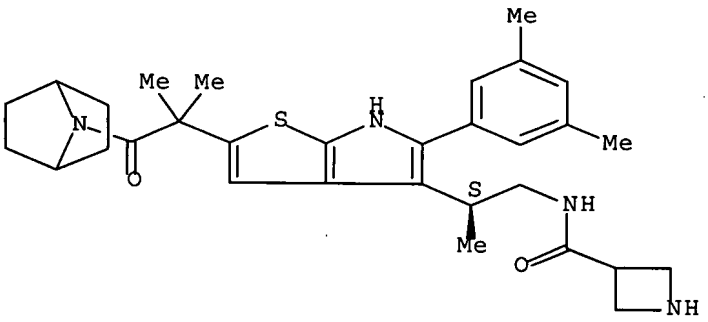
IT **863599-75-1P 863599-76-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)
RN 863599-75-1 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(diphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-76-2 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962266 CAPLUS Full-text
DOCUMENT NUMBER: 143:266908
TITLE: Preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH
INVENTOR(S): Arnould, Jean-Claude; Harris, Craig Steven; Jones, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080402	A1	20050901	WO 2005-GB568	20050217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1730155	A1	20061213	EP 2005-708374		20050217
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR					
CN 1942479	A	20070404	CN 2005-80011860		20050217
IN 2006DN04892	A	20070427	IN 2006-DN4892		20060824
PRIORITY APPLN. INFO.:			EP 2004-290466	A	20040220
			WO 2005-GB568	W	20050217

OTHER SOURCE(S): MARPAT 143:266908
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

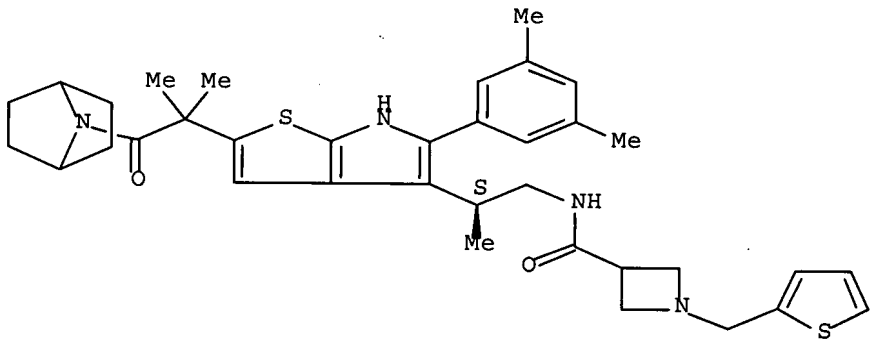
AB Title compds. I [R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, etc.; R3 = alkyl, alkylamino, etc.; R4 = H, alkyl, halo; R5 = alkylcarboxamido, carboxamido, acyl, etc.] are prepared For instance, II is prepared in 3 steps from III, 3-benzhydrylazetidin-3-carboxylic acid and 2-formylthiophene. Compds. of the invention have GnRH activity at a concentration of 1 nM to 5 μM. I are useful for treating a sex hormone related condition.

IT **863599-44-4P 863599-45-5P 863599-46-6P**
863599-47-7P 863599-48-8P 863599-49-9P
863599-50-2P 863599-51-3P 863599-52-4P
863599-53-5P 863599-54-6P 863599-55-7P
863599-56-8P 863599-57-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)

RN 863599-44-4 CAPLUS

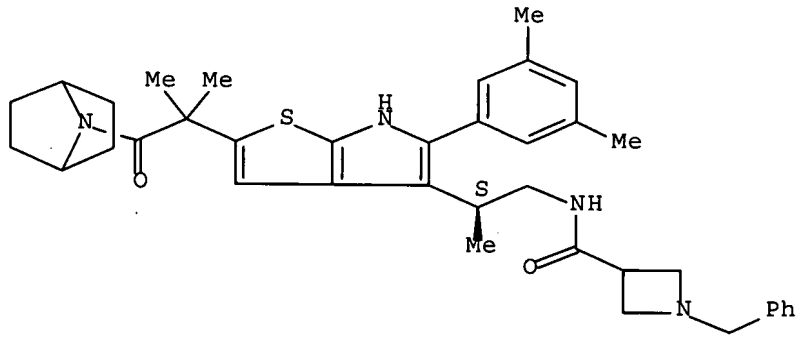
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



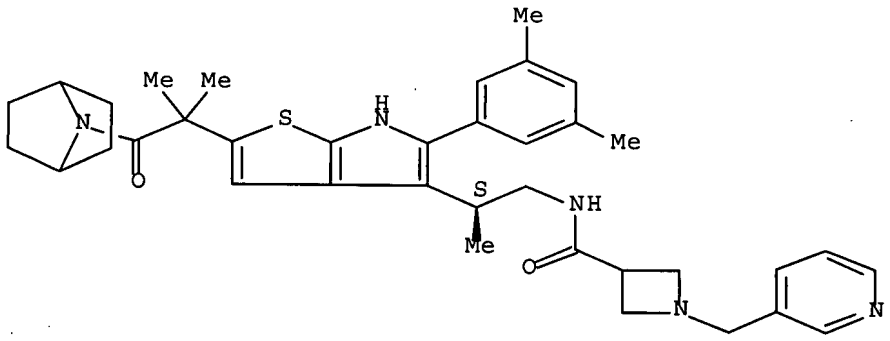
RN 863599-45-5 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



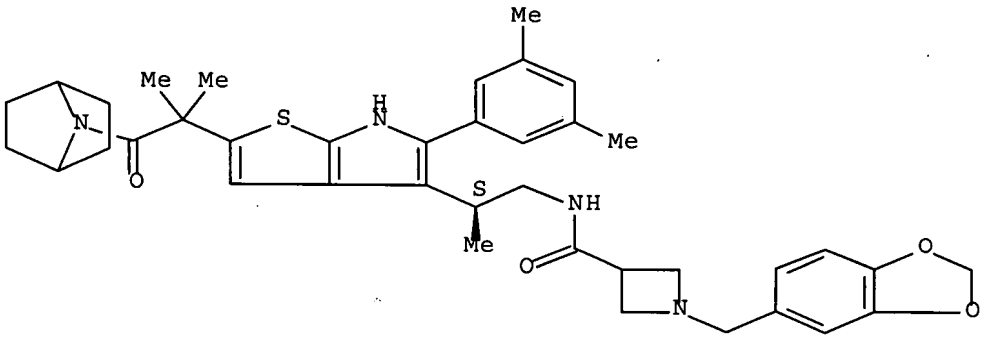
RN 863599-46-6 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



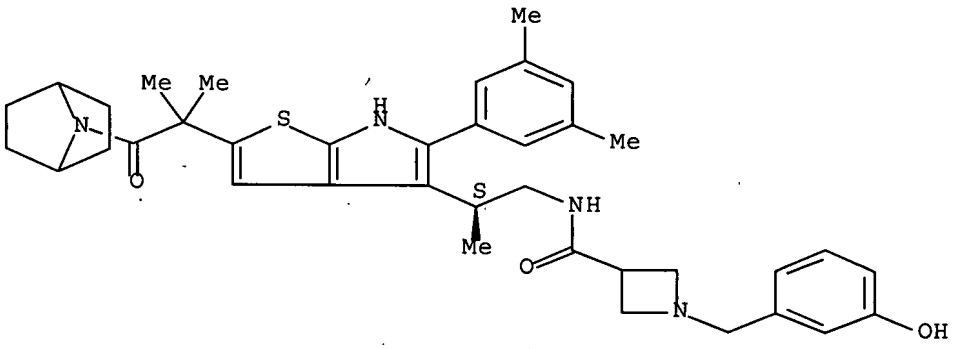
RN 863599-47-7 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



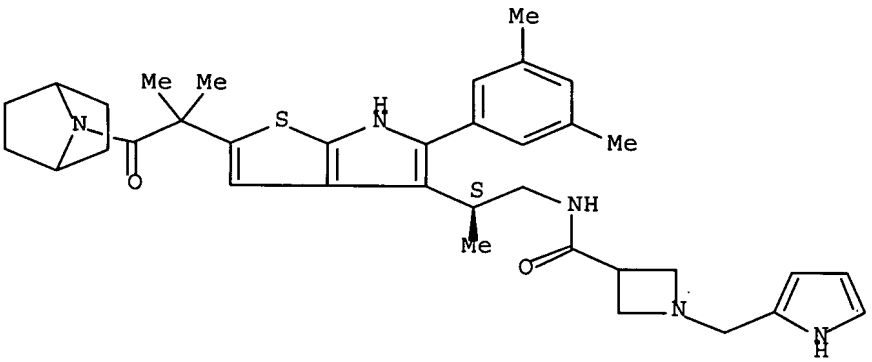
RN 863599-48-8 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-hydroxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-49-9 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(1H-pyrrol-2-ylmethyl)- (9CI) (CA INDEX NAME)

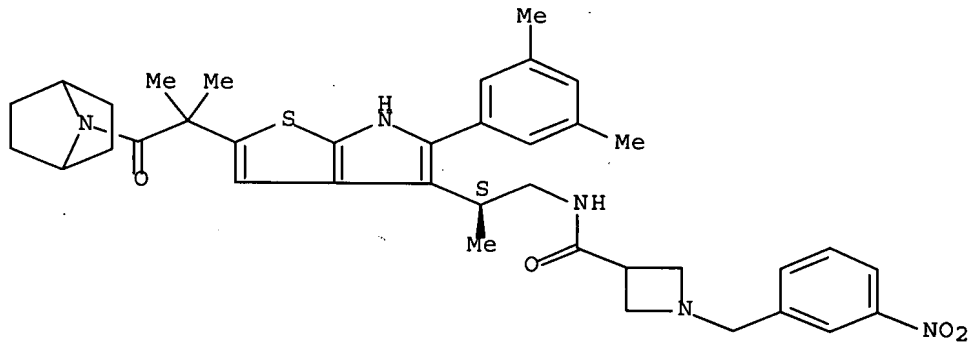
Absolute stereochemistry.



RN 863599-50-2 CAPLUS

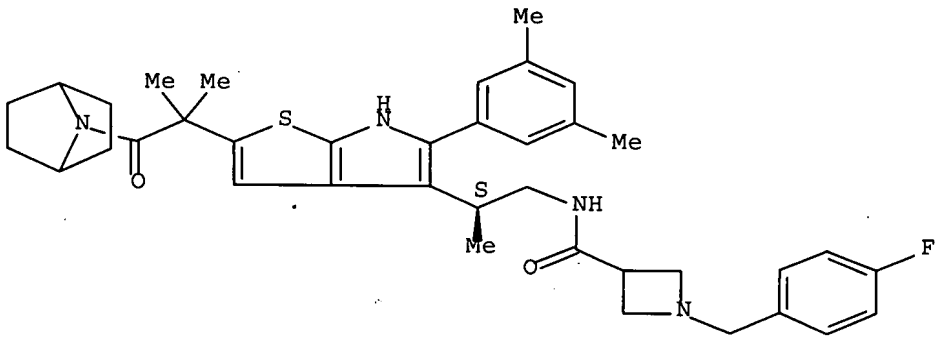
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



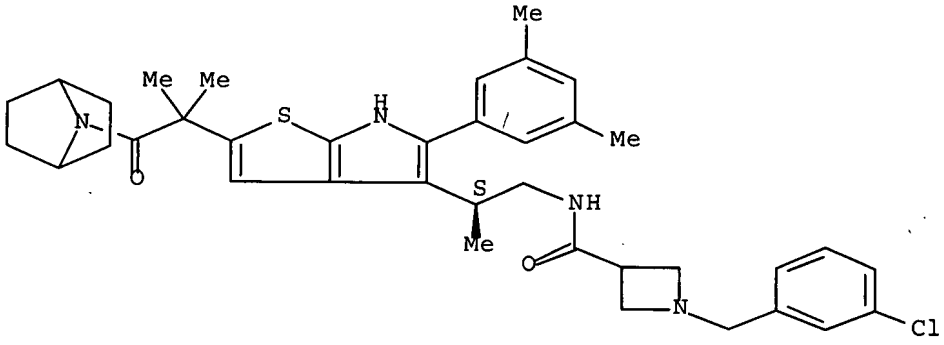
RN 863599-51-3 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



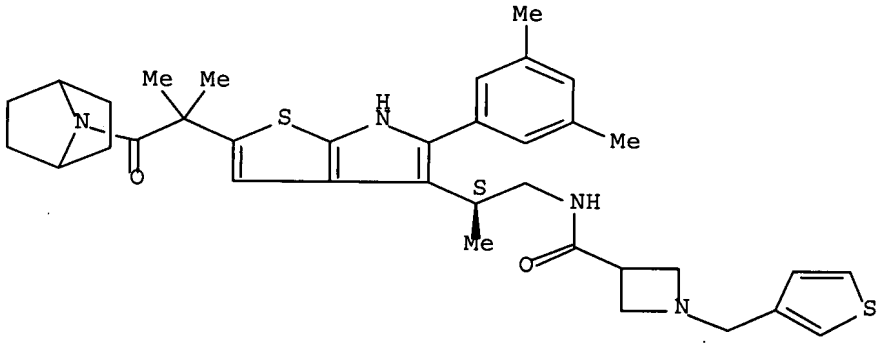
RN 863599-52-4 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



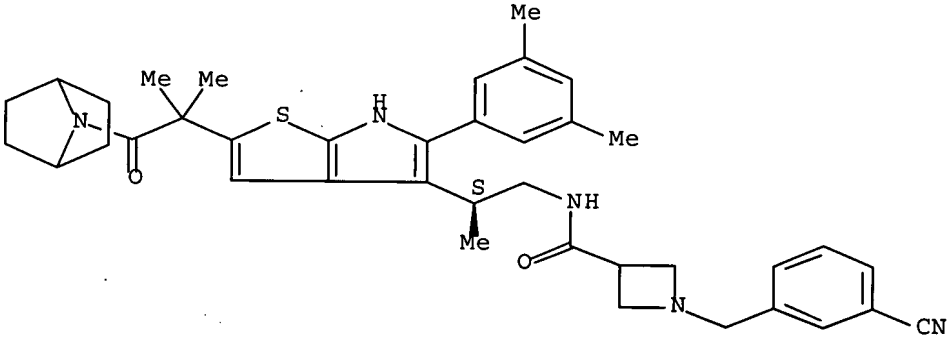
RN 863599-53-5 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-thienylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-54-6 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-[(3-cyanophenyl)methyl]- (9CI) (CA INDEX NAME)

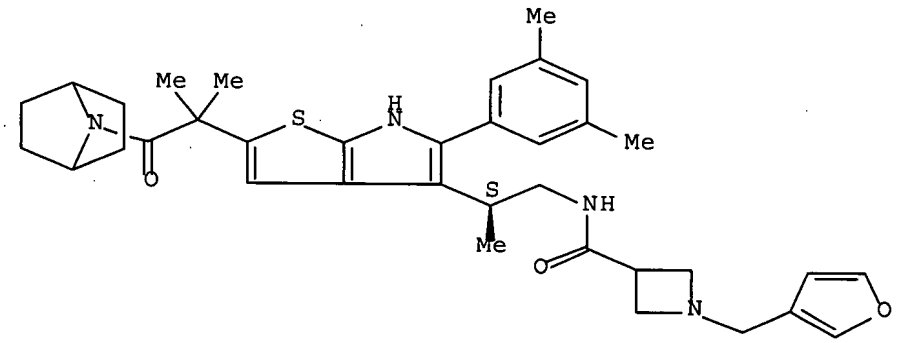
Absolute stereochemistry.



RN 863599-55-7 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-

dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(3-furanylmethyl)- (9CI) (CA INDEX NAME)

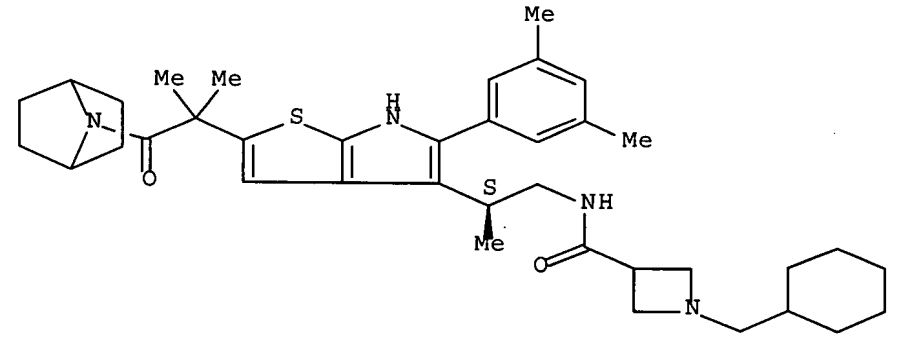
Absolute stereochemistry.



RN 863599-56-8 CAPLUS

CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(cyclohexylmethyl)- (9CI) (CA INDEX NAME)

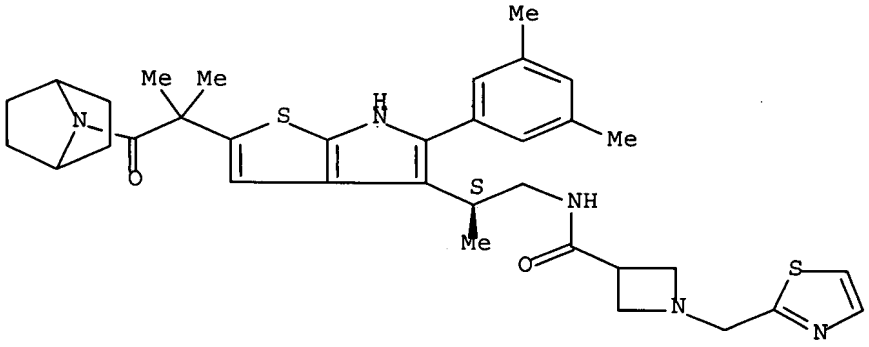
Absolute stereochemistry.



RN 863599-57-9 CAPLUS

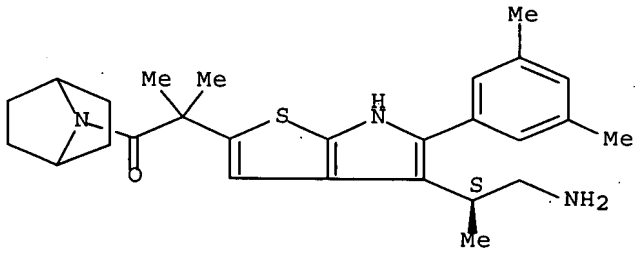
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



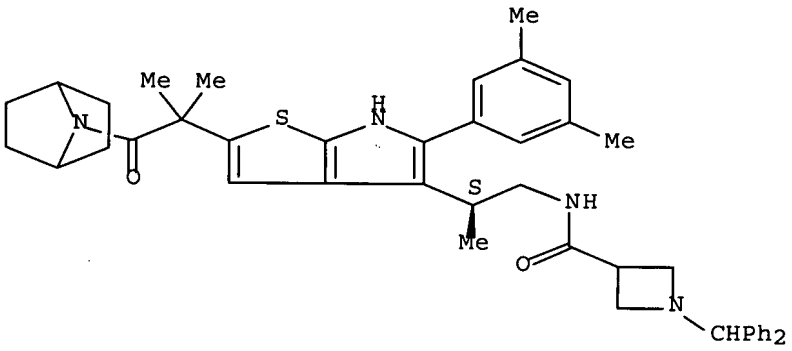
IT **667940-67-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)
RN 667940-67-2 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



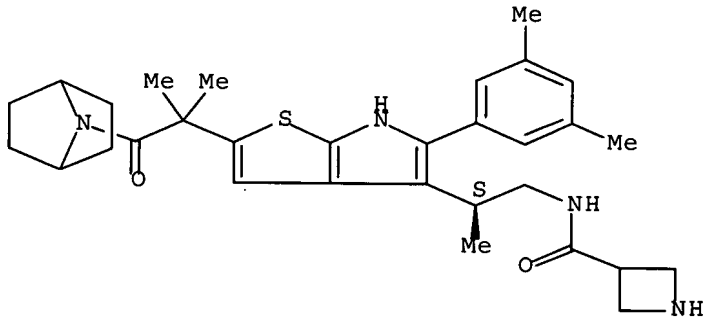
IT **863599-75-1P 863599-76-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted thieno[2,3-b]pyrroles as antagonists of GnRH)
RN 863599-75-1 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-1-(diphenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863599-76-2 CAPLUS
CN 3-Azetidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:962048 CAPLUS Full-text
DOCUMENT NUMBER: 143:266813
TITLE: Preparation of pyrroles as gonadotropin releasing hormone (gnrh) antagonists for treating sex-hormone related conditions
INVENTOR(S): Harris, Craig Steven
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005079805	A1	20050901	WO 2005-GB560	20050217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1729776	A1	20061213	EP 2005-708368	20050217
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1942190	A	20070404	CN 2005-80011759	20050217
PRIORITY APPLN. INFO.:			EP 2004-290467 A	20040220

WO 2005-GB560 W 20050217

OTHER SOURCE(S): MARPAT 143:266813
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

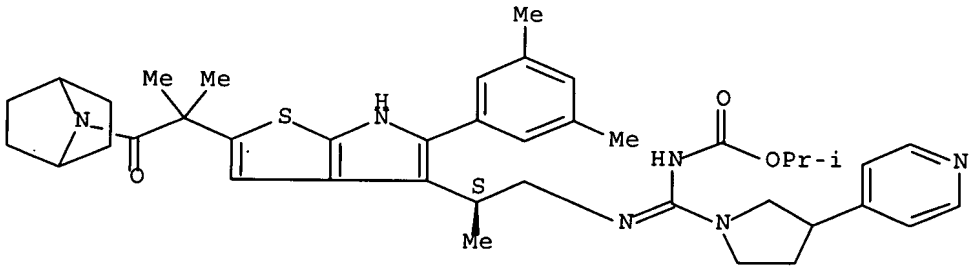
AB The invention is related to the use of pyrroles of formula (I) [R1 = H, (un)substituted aryl/alkyl, aryl; R2 = (un)substituted mono- or bicyclic ring; R3 = (un)substituted heterocyclylaminoalkyl, heterocyclylalkyl, heterocyclylcarbonylaminoalkyl, etc.; R4 = H, alkyl, halo; R5 = CONH2 and derivs., CHO and derivs., (un)substituted heterocyclyl, etc.; M = CH2CH2, CH:CH; and their salts, solvates, or prodrugs] in the manufacture of a medicament for antagonizing gonadotropin releasing hormone activity, for reducing the secretion of LH by the pituitary gland of a patient, and for treating and/or preventing a sex hormone related condition. The invention is also related to the preparation of pyrroles I. For example, cleavage of thienopyrrole II in EtOH with Raney-Ni under H2 gave pyrrole III in 52% yield. II was prepared via cyclocondensation of IV•HCl (preparation given) with 1-(3,5-dimethylphenyl)-4-hydroxy-1-butanone. In vitro test assays, I have activity at a concentration from 1 nM to 5 μM.

IT **667940-38-7P 667940-57-0P 667940-58-1P**
667940-66-1P 667940-67-2P 863561-74-4P
863561-75-5P 863561-76-6P 863561-77-7P
863561-78-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyrroles as gonadotropin releasing hormone (gnrh) antagonists for treating sex-hormone related conditions)

RN 667940-38-7 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

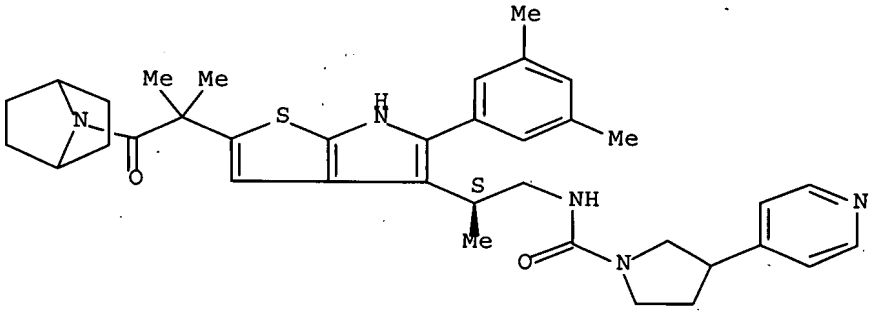
Absolute stereochemistry.



RN 667940-57-0 CAPLUS

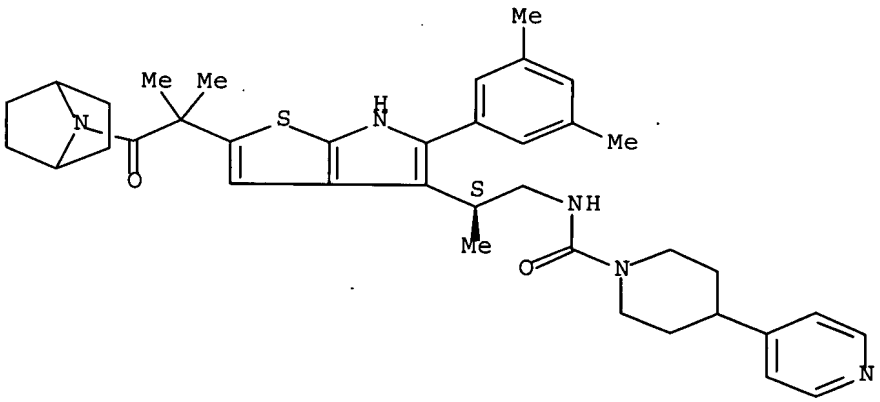
CN 1-Pyrrolidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



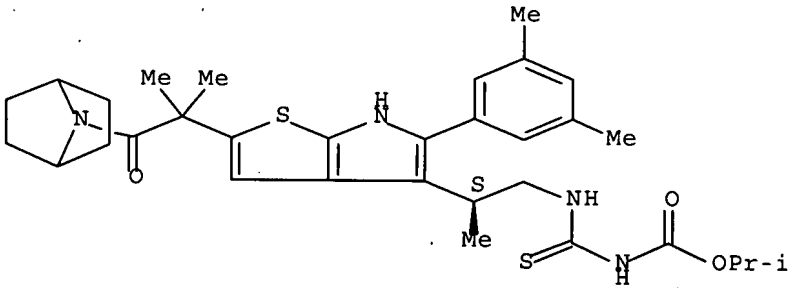
RN 667940-58-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 667940-66-1 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino]thioxomethyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

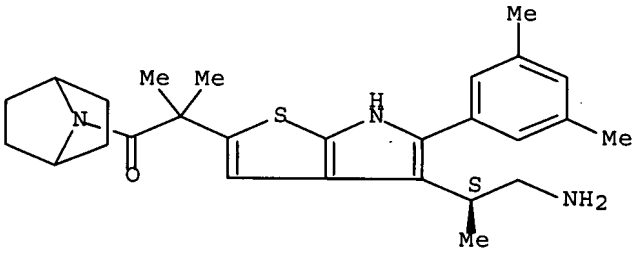
Absolute stereochemistry.



RN 667940-67-2 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI)

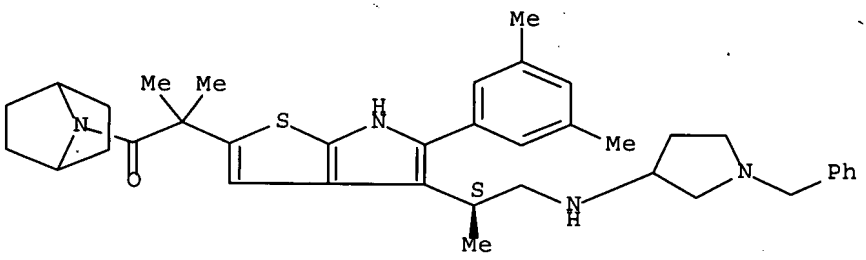
(CA INDEX NAME)

Absolute stereochemistry.



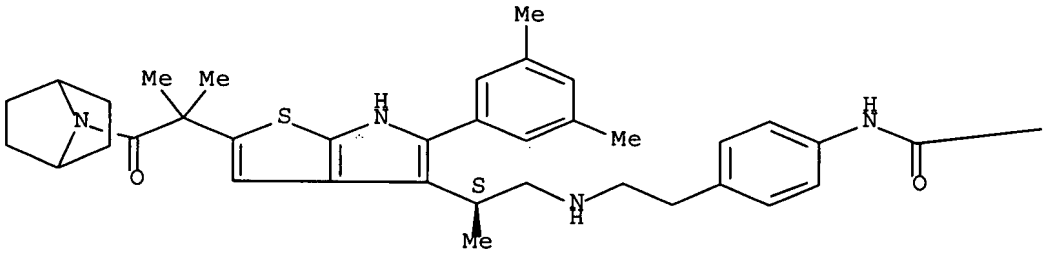
RN 863561-74-4 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863561-75-5 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-[4-[[[(1-methylethyl)amino]carbonyl]amino]phenyl]ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

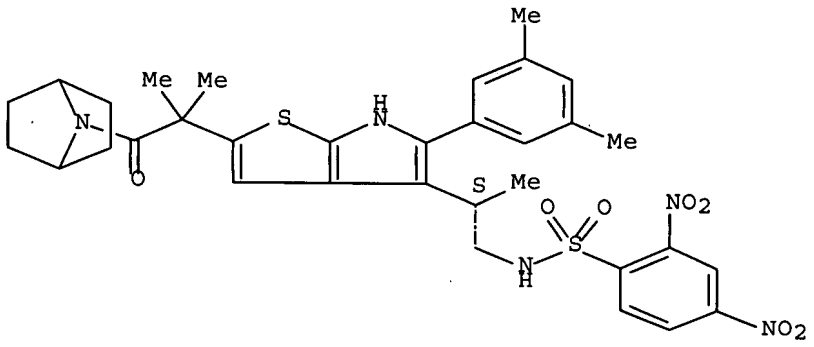
Absolute stereochemistry.



—NHPr-i

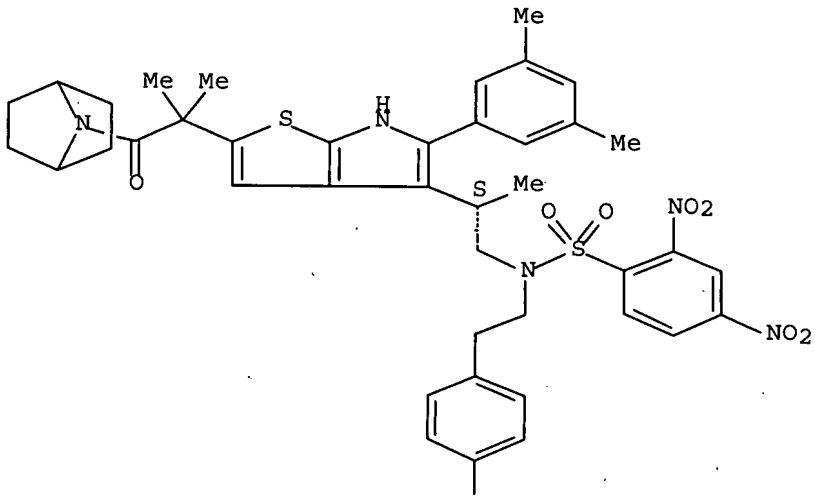
RN 863561-76-6 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[(2,4-dinitrophenyl)sulfonyl]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 863561-77-7 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-[[2-(4-aminophenyl)ethyl][(2,4-dinitrophenyl)sulfonyl]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

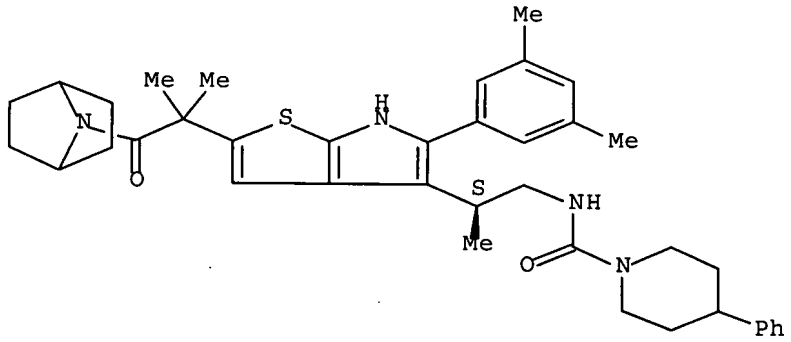
Absolute stereochemistry.



NH2

RN 863561-78-8 CAPLUS
CN 1-Piperidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-4-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:182888 CAPLUS Full-text
DOCUMENT NUMBER: 140:235695
TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as antagonists of gonadotropin-releasing hormone (GnRh) for treating sex hormone related conditions
INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter, Alexander Graham; Arnould, Jean Claude; Lamorlette, Maryannick Andree; Delouvrie, Benedicte; Hamon, Annie
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018480	A1	20040304	WO 2003-GB3631	20030819

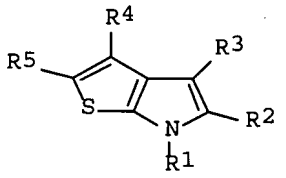
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003255818	A1	20040311	AU 2003-255818		20030819
EP 1543012	A1	20050622	EP 2003-792485		20030819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006501232	T	20060112	JP 2004-530360		20030819
US 2006004082	A1	20060105	US 2005-524978		20050218
US 7132442	B2	20061107			
US 2006235067	A1	20061019	US 2006-380961		20060501
PRIORITY APPLN. INFO.:			EP 2002-292074	A	20020821
			WO 2003-GB3631	W	20030819
			US 2005-524978	A3	20050218

OTHER SOURCE(S): MARPAT 140:235695

GI



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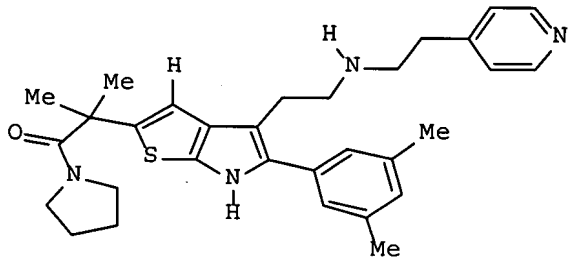


II

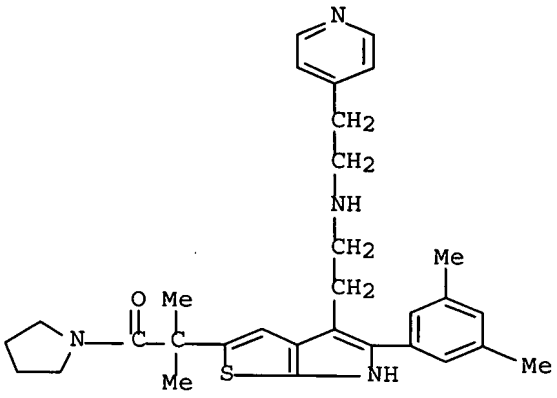
AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R2 = (un)substituted mono or bicyclic aromatic ring; R3 = arylalkylaminoalkyl, arylheterocyclylalkyl, heterocyclylheterocyclylalkyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R5 = heterocyclylcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5 μM.

IT **666850-12-0P 666850-13-1P 666850-14-2P**
666850-15-3P 666850-16-4P 666850-17-5P
666850-18-6P 666850-19-7P 666850-20-0P
666850-21-1P 666850-22-2P 666850-23-3P
666850-24-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thienopyrroles as antagonists of gonadotropin releasing hormone)

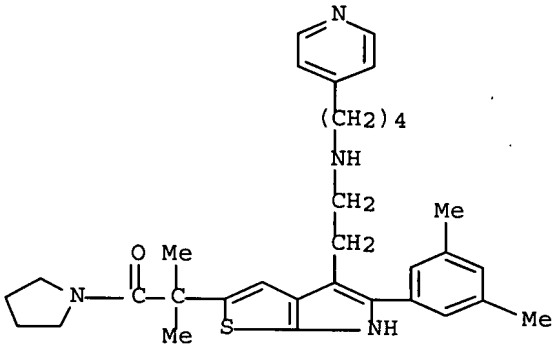
RN 666850-12-0 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-

oxopropyl]- (9CI) (CA INDEX NAME)

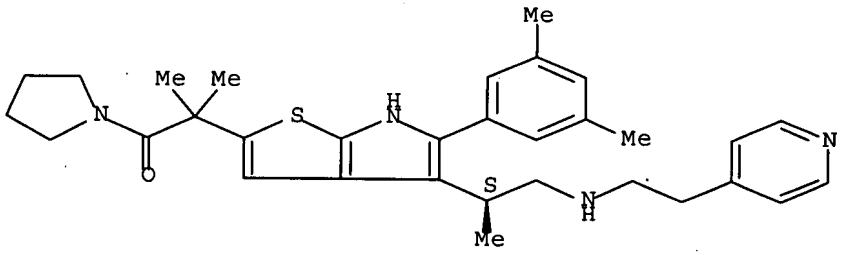


RN 666850-13-1 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[4-(4-pyridinyl)butyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



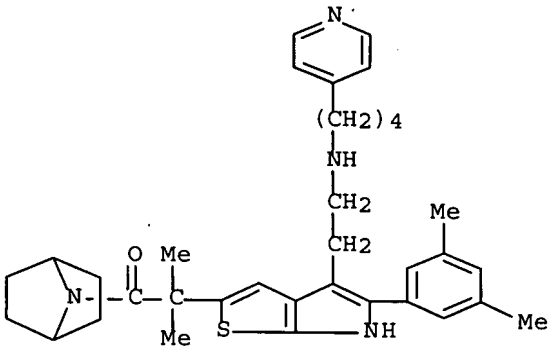
RN 666850-14-2 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

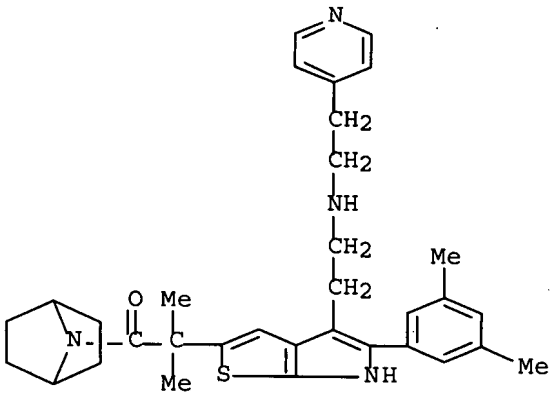


RN 666850-15-3 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[4-(4-pyridinyl)butyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

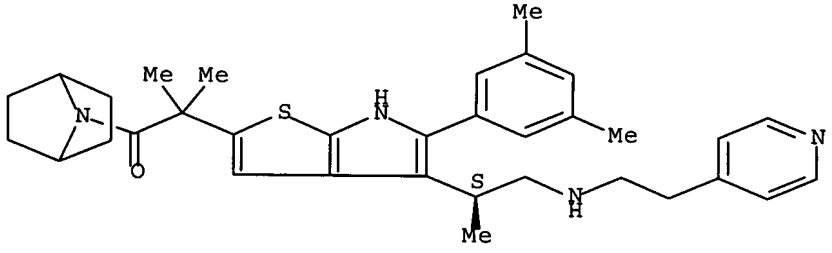


RN 666850-16-4 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

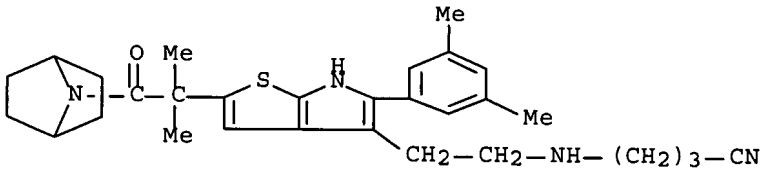


RN 666850-17-5 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

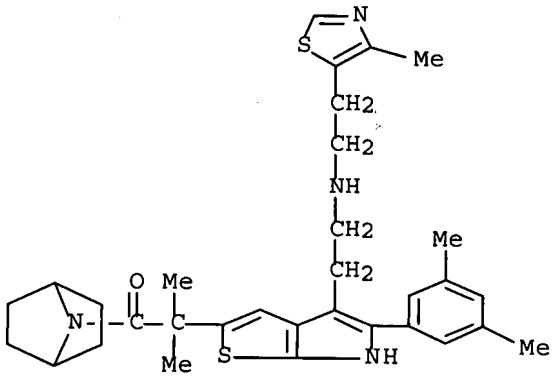
Absolute stereochemistry.



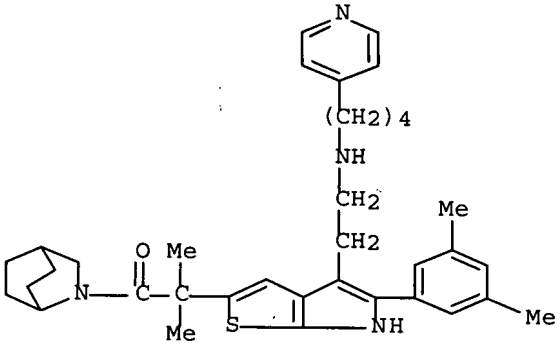
RN 666850-18-6 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[2-[(3-cyanopropyl)amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666850-19-7 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-methyl-5-thiazolyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

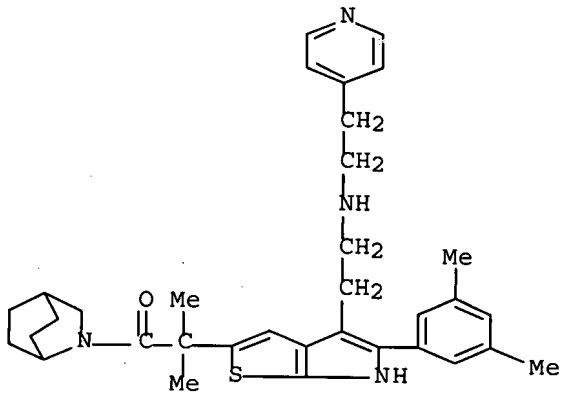


RN 666850-20-0 CAPLUS
CN 2-Azabicyclo[2.2.2]octane, 2-[2-[5-(3,5-dimethylphenyl)-4-[2-[[4-(4-pyridinyl)butyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



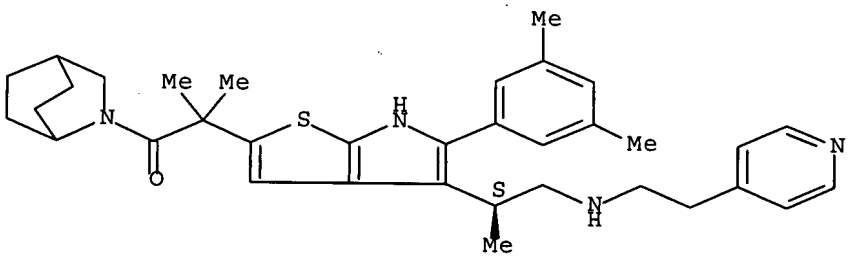
RN 666850-21-1 CAPLUS

CN 2-Azabicyclo[2.2.2]octane, 2-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

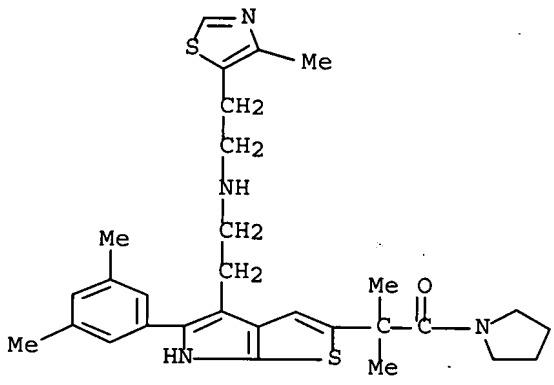


RN 666850-22-2 CAPLUS
CN 2-Azabicyclo[2.2.2]octane, 2-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

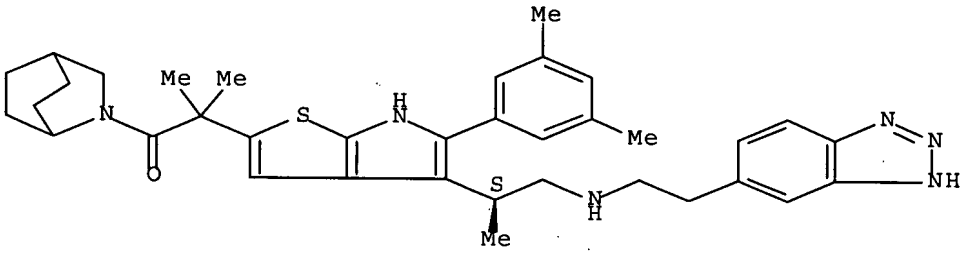


RN 666850-23-3 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[2-(4-methyl-5-thiazolyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



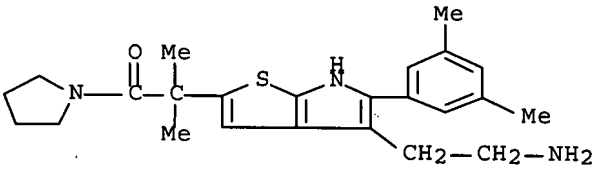
RN 666850-24-4 CAPLUS
CN 2-Azabicyclo[2.2.2]octane, 2-[2-[4-[(1S)-2-[[2-(1H-benzotriazol-5-yl)ethyl]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

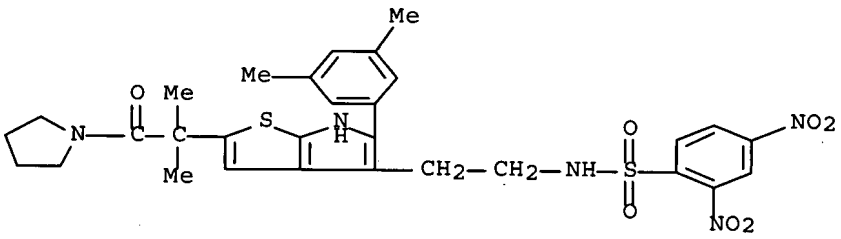


IT **666852-70-6P 666852-71-7P 666852-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediates; preparation of thienopyrroles as antagonists of gonadotropin
releasing hormone)

RN 666852-70-6 CAPLUS
CN Pyrrolidine, 1-[2-[4-(2-aminoethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

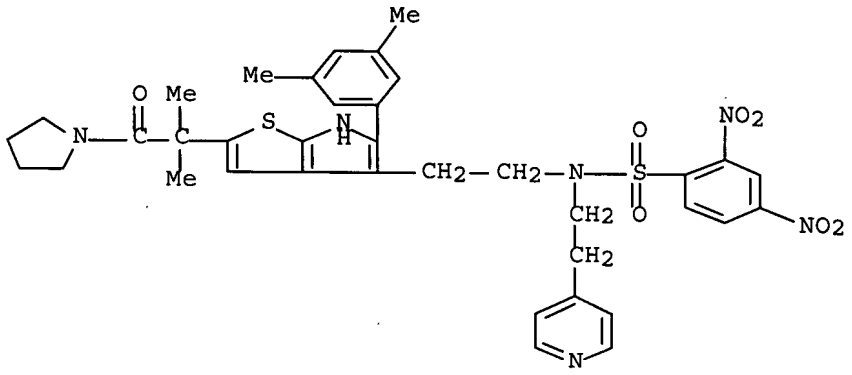


RN 666852-71-7 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[(2,4-dinitrophenyl)sulfonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 666852-72-8 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[(2,4-dinitrophenyl)sulfonyl][2-(4-pyridinyl)ethyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:182887 CAPLUS Full-text
DOCUMENT NUMBER: 140:235694
TITLE: Preparation of thieno-pyrrole compounds as antagonists of gonadotropin releasing hormone
INVENTOR(S): Arnould, Jean Claude
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018479	A1	20040304	WO 2003-GB3603	20030818
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003267551	A1	20040311	AU 2003-267551	20030818
EP 1532154	A1	20050525	EP 2003-748242	20030818
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508050	T	20060309	JP 2004-530353	20030818
US 2006004053	A1	20060105	US 2005-525109	20050218
PRIORITY APPLN. INFO.:			EP 2002-292076 A	20020821
			WO 2003-GB3603 W	20030818

OTHER SOURCE(S): MARPAT 140:235694

GI

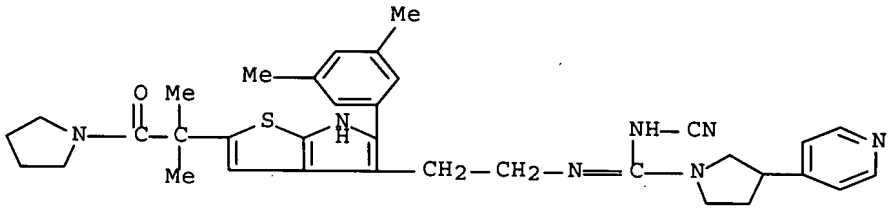
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = bond or (un)substituted alkylene; R1 = H, (un)substituted alkyl, cycloalkyl, or cycloalkylalkyl; R2 = (un)substituted mono- or bicyclic aromatic ring structure; R4 = H; R5 = (un)substituted heterocyclic ring containing 1-4 heteroatoms selected from O, N and S, hydroxyalkyl, alkylcarbonyl, etc.; R3 and R3a = independently H, (un)substituted alkyl or together represent a carbonyl; R7 = H or (un)substituted alkyl; R8 and X = when X represents CH, R8 represents NO2, when X represents N, R8 is selected from CN, OH, H, alkoxy, etc., or the combination XR8 equals CO] are prepared and disclosed as compds. useful as gonadotropin releasing hormone antagonists. Thus, e.g., II was prepared via condensation of 2-[2-(1,1-dimethyl-2-oxo-2-pyrrolidin-1-ylethyl)-5-(3,5- dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethylamine (preparation given) with diphenyl-N-cyanocarbonimidate and subsequent substitution with 3-(pyridin-4-yl)pyrrolidine. I have activity at a concentration from 1nM to 5μM. The invention also relates to pharmaceutical formulations of said compds., methods of treatment using said compds. and to processes for the preparation of said compds.

IT **667940-25-2P 667940-63-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

RN 667940-25-2 CAPLUS

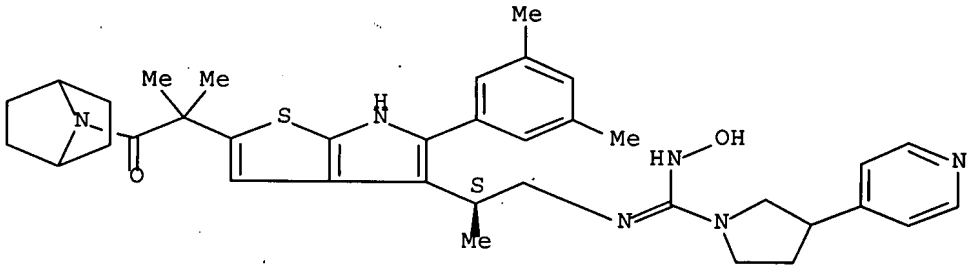
CN Pyrrolidine, 1-[2-[4-[2-[[(cyanoamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 667940-63-8 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[(hydroxyamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

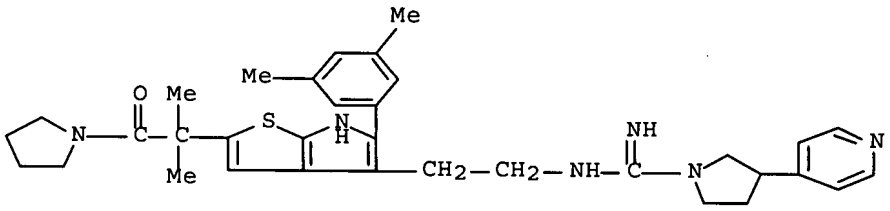
Absolute stereochemistry.



IT **667940-27-4P 667940-29-6P 667940-31-0P**
667940-33-2P 667940-35-4P 667940-37-6P
667940-38-7P 667940-39-8P 667940-40-1P
667940-41-2P 667940-42-3P 667940-43-4P
667940-44-5P 667940-45-6P 667940-46-7P
667940-47-8P 667940-48-9P 667940-49-0P
667940-50-3P 667940-51-4P 667940-52-5P
667940-53-6P 667940-54-7P 667940-55-8P
667940-56-9P 667940-57-0P 667940-58-1P
667940-59-2P 667940-60-5P 667940-61-6P
667940-62-7P 667940-64-9P 667940-75-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

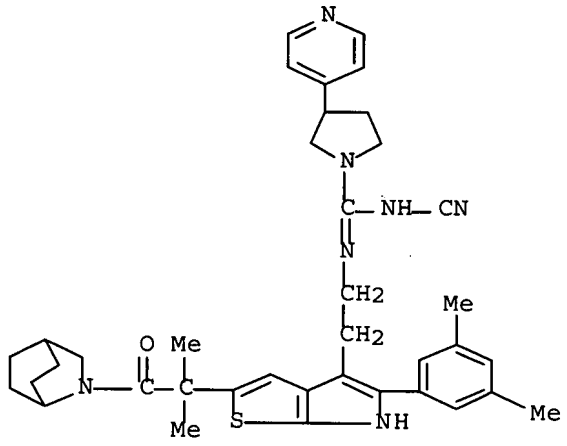
RN 667940-27-4 CAPLUS

CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[2-[[imino[3-(4-pyridinyl)-1-pyrrolidinyl]methyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

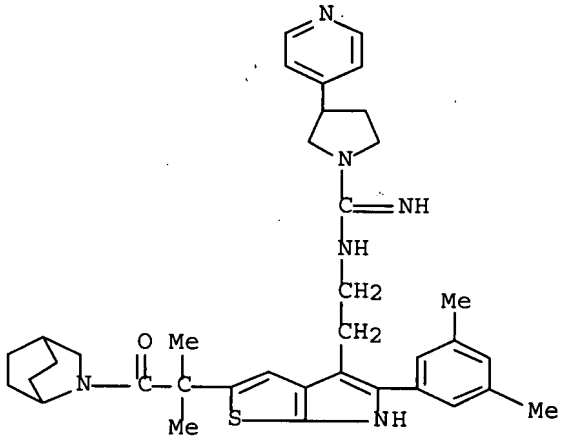


RN 667940-29-6 CAPLUS

CN 2-Azabicyclo[2.2.2]octane, 7-[2-[4-[2-[[(cyanoamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

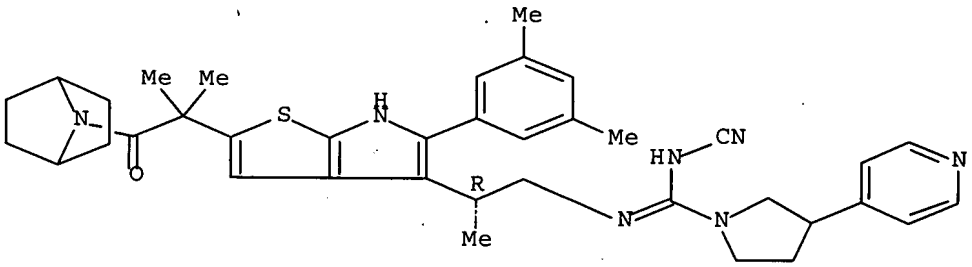


RN 667940-31-0 CAPLUS
CN 2-Azabicyclo[2.2.2]octane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[imino[3-(4-pyridinyl)-1-pyrrolidinyl]methyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

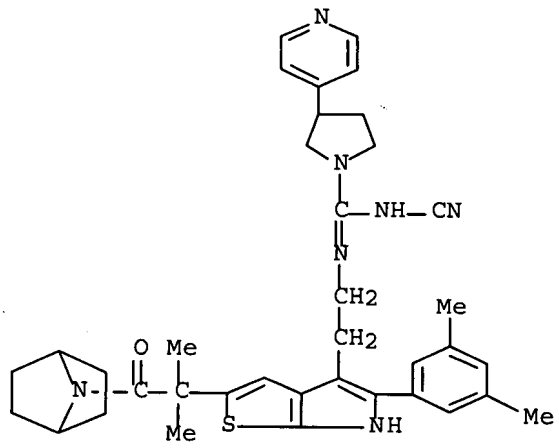


RN 667940-33-2 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1R)-2-[[(cyanoamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

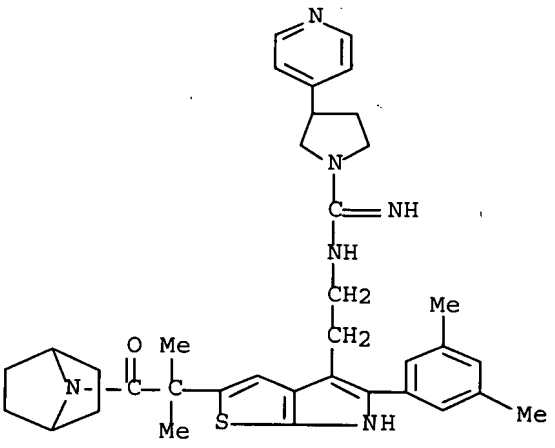
Absolute stereochemistry.



RN 667940-35-4 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[2-[[(cyanoamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

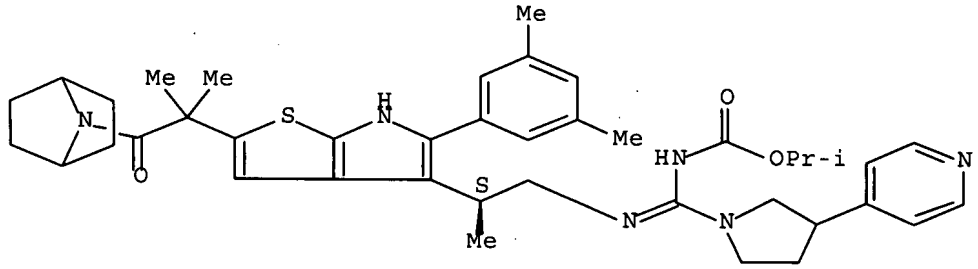


RN 667940-37-6 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[2-[[imino[3-(4-pyridinyl)-1-pyrrolidinyl]methyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

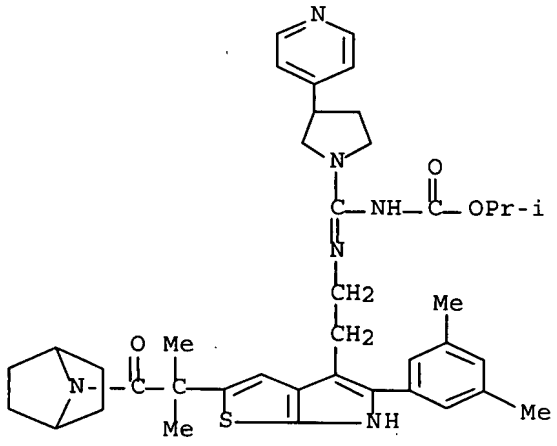


RN 667940-38-7 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

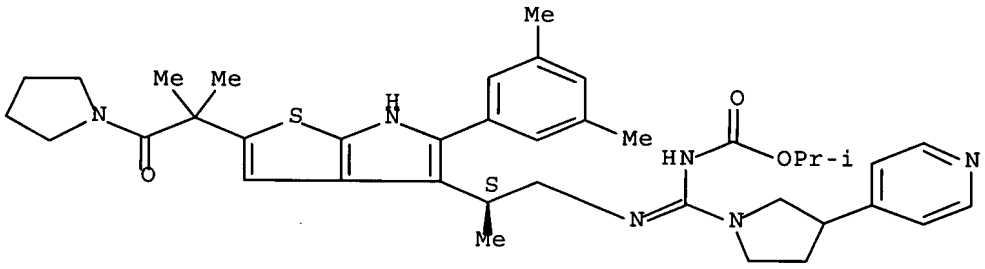


RN 667940-39-8 CAPLUS
CN Carbamic acid, [[[2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 667940-40-1 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

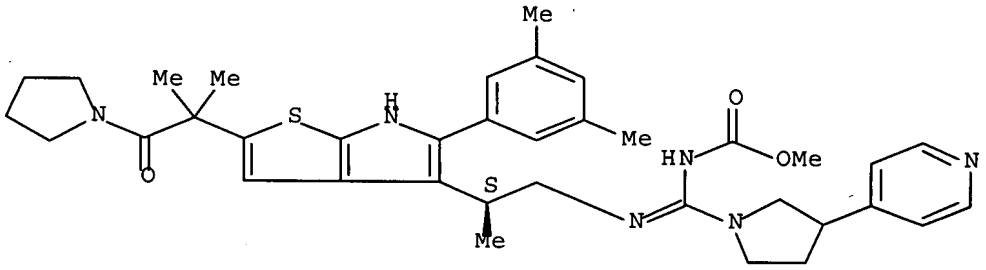
Absolute stereochemistry.



RN 667940-41-2 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-

(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, methyl ester (9CI) (CA INDEX NAME)

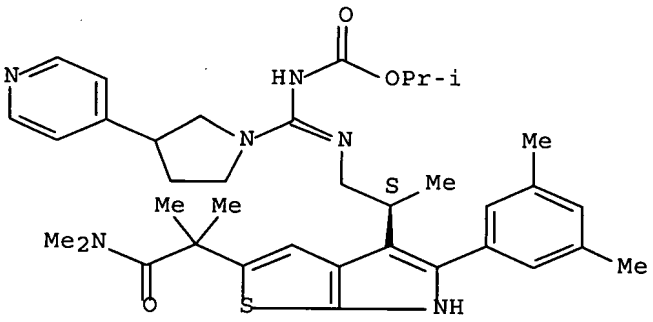
Absolute stereochemistry.



RN 667940-42-3 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(dimethylamino)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

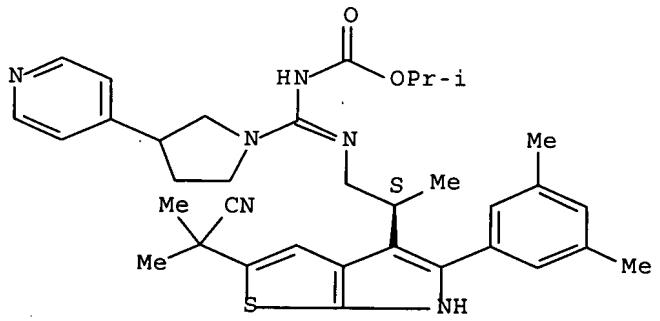
Absolute stereochemistry.



RN 667940-43-4 CAPLUS

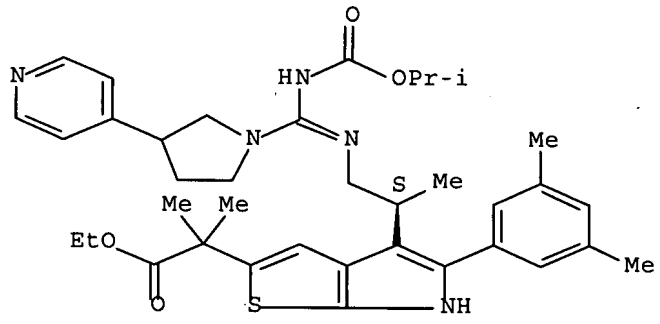
CN Carbamic acid, [[[(2S)-2-[2-(1-cyano-1-methylethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



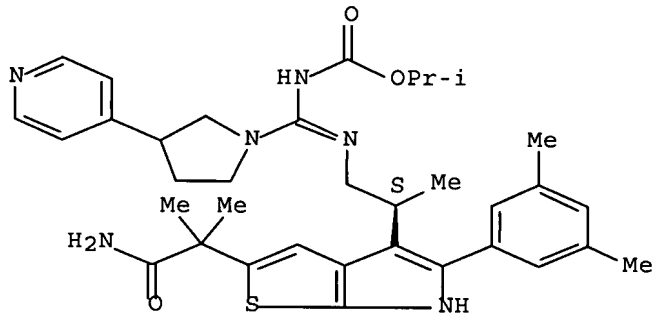
RN 667940-44-5 CAPLUS
CN 6H-Thieno[2,3-b]pyrrole-2-acetic acid, 5-(3,5-dimethylphenyl)-α,α-dimethyl-4-[(1S)-1-methyl-2-[[[[(1-methylethoxy)carbonyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



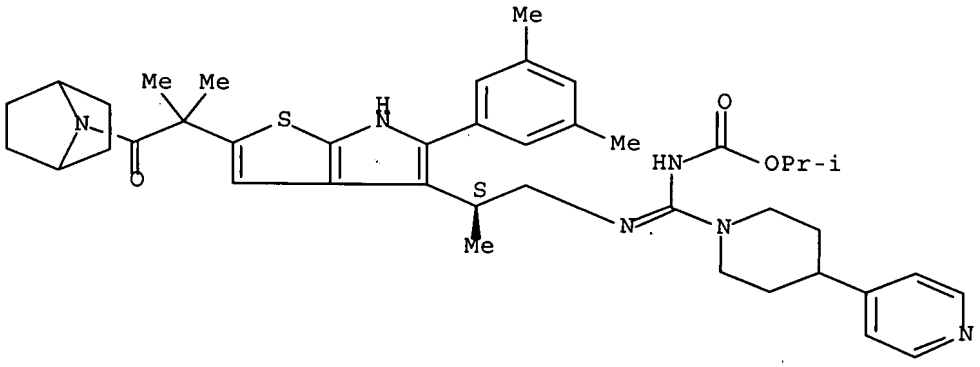
RN 667940-45-6 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-(2-amino-1,1-dimethyl-2-oxoethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



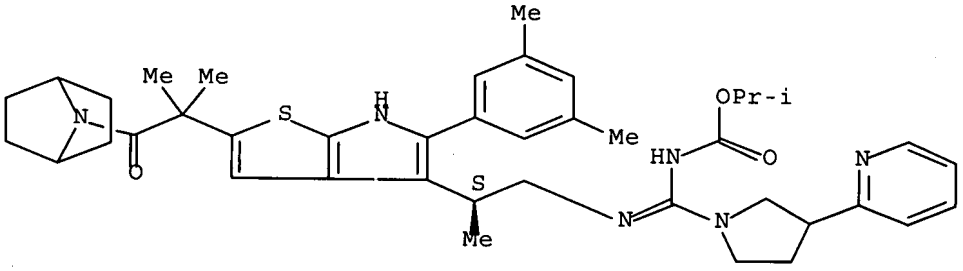
RN 667940-46-7 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(4-pyridinyl)-1-piperidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



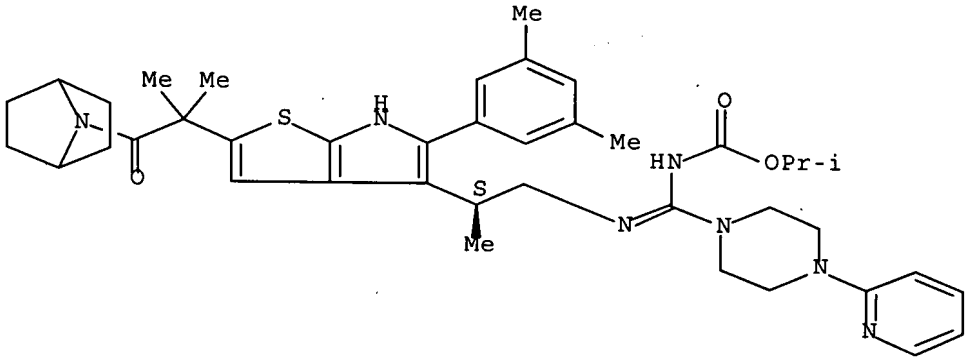
RN 667940-47-8 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(2-pyridinyl)-1-pyrrolidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 667940-48-9 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(2-pyridinyl)-1-piperazinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

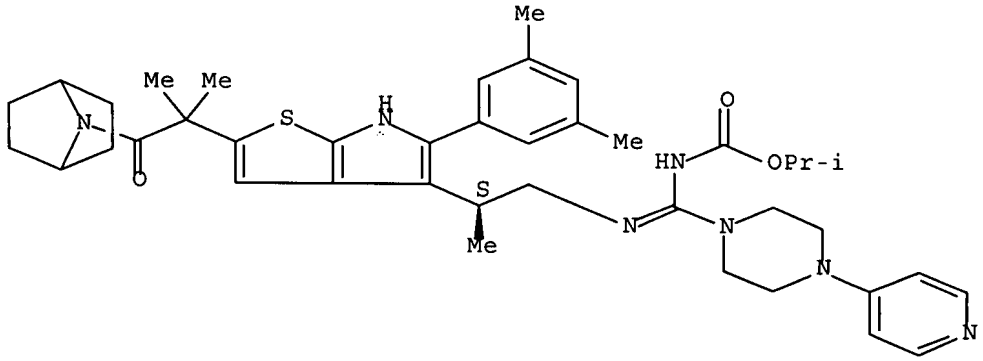
Absolute stereochemistry.



RN 667940-49-0 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-

2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(4-pyridinyl)-1-piperazinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

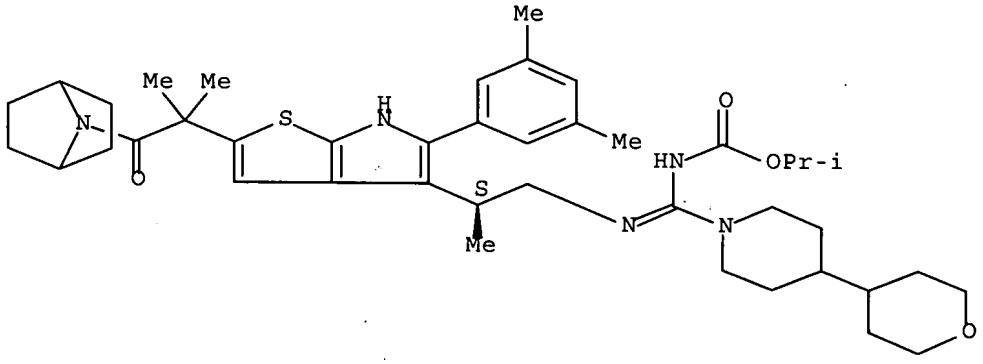
Absolute stereochemistry.



RN 667940-50-3 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(tetrahydro-2H-pyran-4-yl)-1-piperidinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

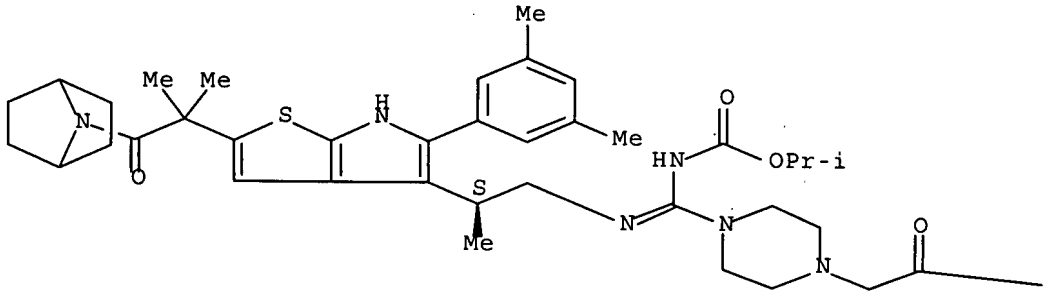


RN 667940-51-4 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-[2-[(1-methylethyl)amino]-2-oxoethyl]-1-piperazinyl]methylene]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

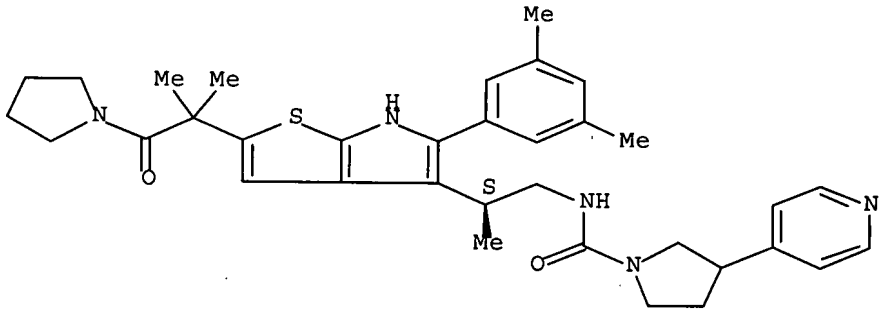


PAGE 1-B

—NHPr-i

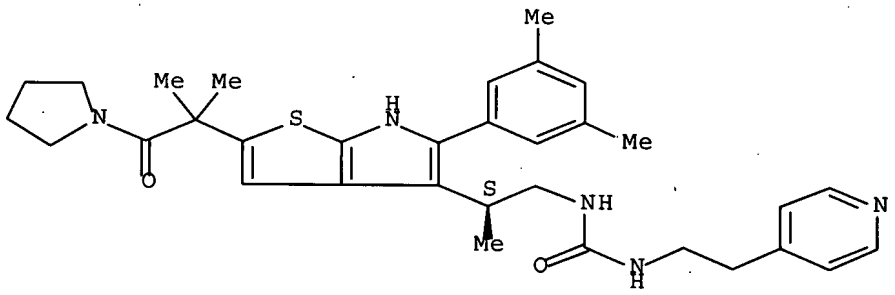
RN 667940-52-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(2S)-2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



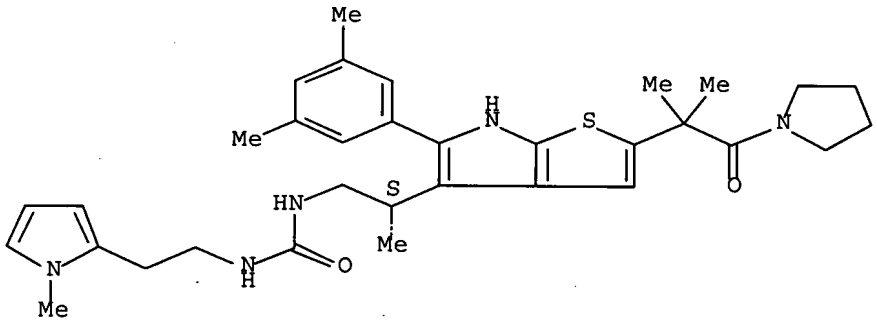
RN 667940-53-6 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[[2-(4-pyridinyl)ethyl]amino]carbonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



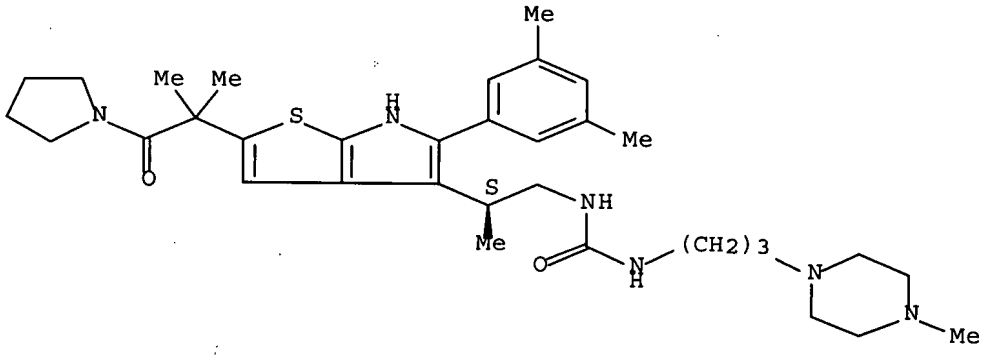
RN 667940-54-7 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[[2-(1-methyl-1H-pyrrol-2-yl)ethyl]amino]carbonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



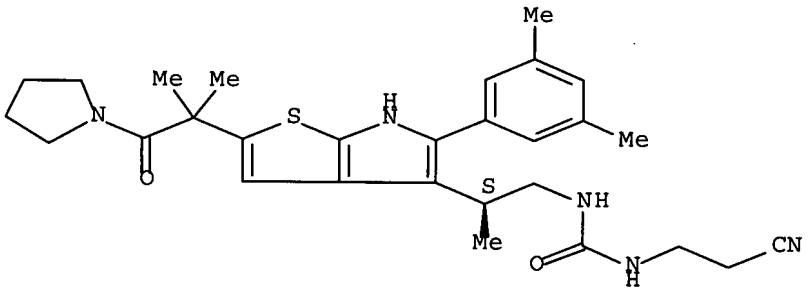
RN 667940-55-8 CAPLUS
CN Pyrrolidine, 1-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[[3-(4-methyl-1-piperazinyl)propyl]amino]carbonyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



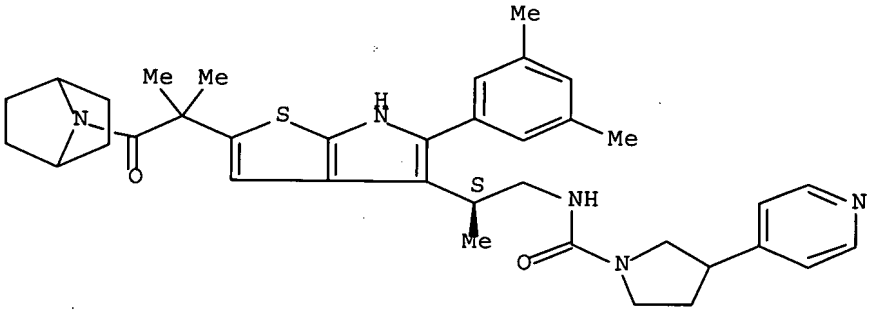
RN 667940-56-9 CAPLUS
CN Pyrrolidine, 1-[2-[4-[(1S)-2-[[[(2-cyanoethyl)amino]carbonyl]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



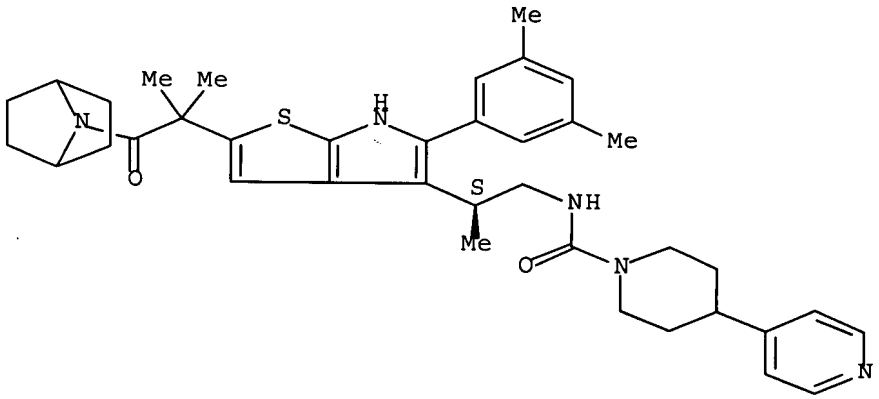
RN 667940-57-0 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-3-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



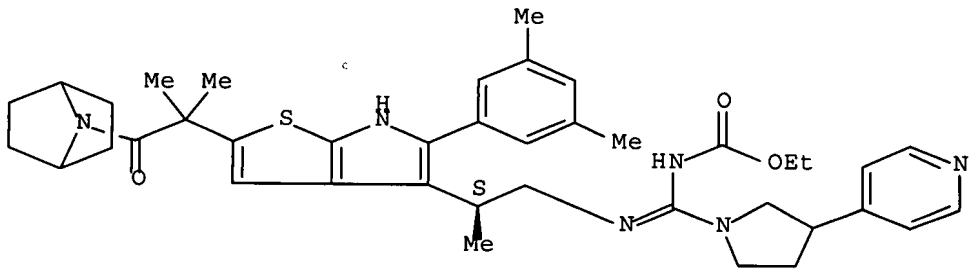
RN 667940-58-1 CAPLUS
CN 1-Piperidinecarboxamide, N-[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



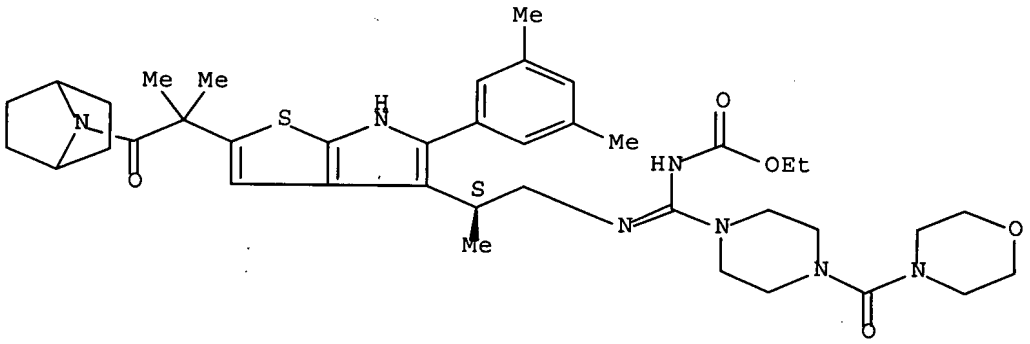
RN 667940-59-2 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



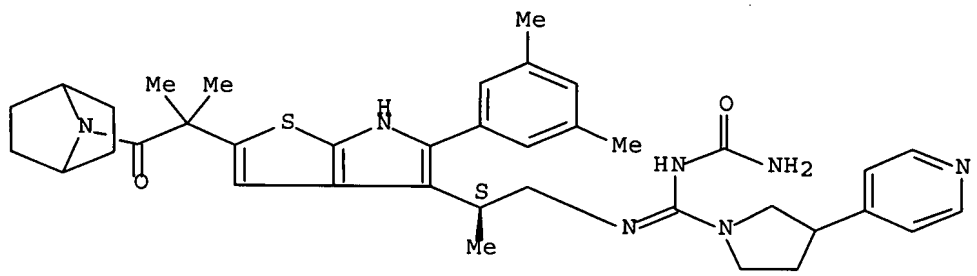
RN 667940-60-5 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][4-(4-morpholinylcarbonyl)-1-piperazinyl]methylene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



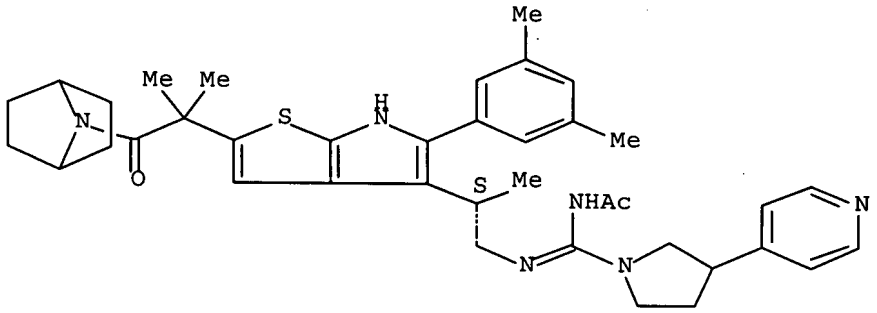
RN 667940-61-6 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-[[[(aminocarbonyl)amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



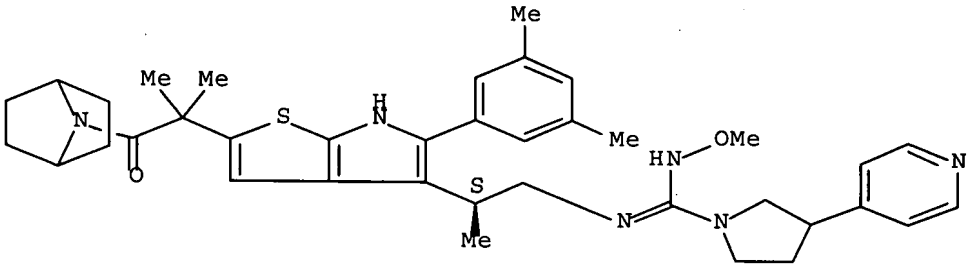
RN 667940-62-7 CAPLUS
CN Acetamide, N-[[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][3-(4-pyridinyl)-1-pyrrolidinyl]methylene]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



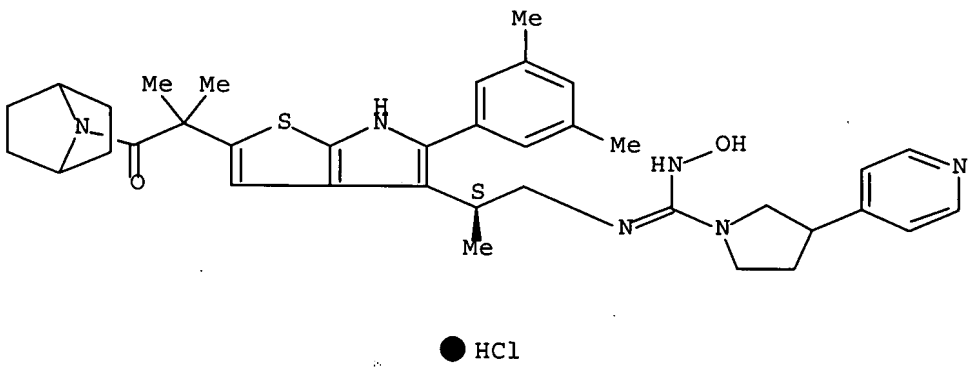
RN 667940-64-9 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[(methoxyamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 667940-75-2 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[(hydroxyamino)[3-(4-pyridinyl)-1-pyrrolidinyl]methylene]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]-, monohydrochloride (9CI) (CA INDEX NAME)

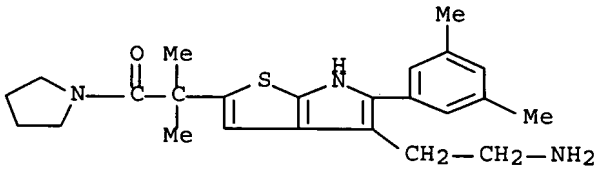
Absolute stereochemistry.



IT **666852-70-6P 667940-65-0P 667940-66-1P**
667940-67-2P 667940-68-3P 667940-69-4P
667940-70-7P 667940-72-9P 667940-73-0P
667940-74-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

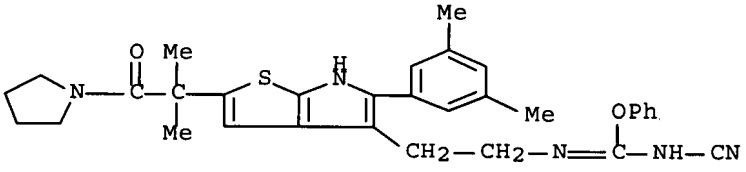
RN 666852-70-6 CAPLUS

CN Pyrrolidine, 1-[2-[4-(2-aminoethyl)-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 667940-65-0 CAPLUS

CN Carbamimidic acid, N-cyano-N'-[2-[2-[1,1-dimethyl-2-oxo-2-(1-pyrrolidinyl)ethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]ethyl]-, phenyl ester (9CI) (CA INDEX NAME)

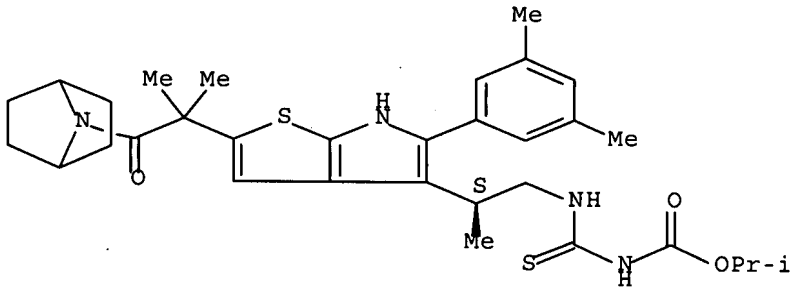


RN 667940-66-1 CAPLUS

CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-

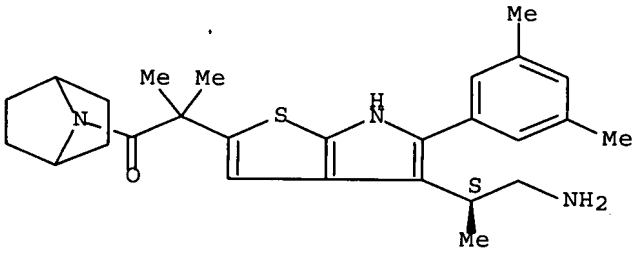
yl]propyl]amino]thioxomethyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



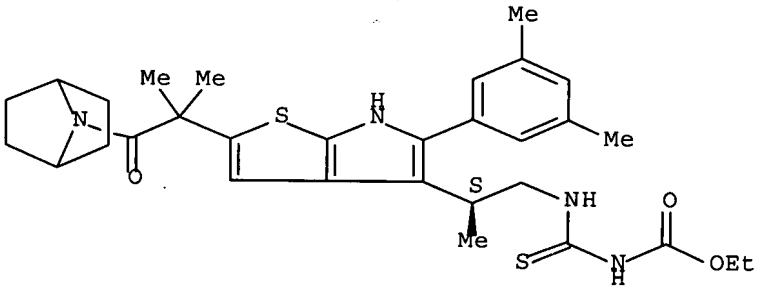
RN 667940-67-2 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



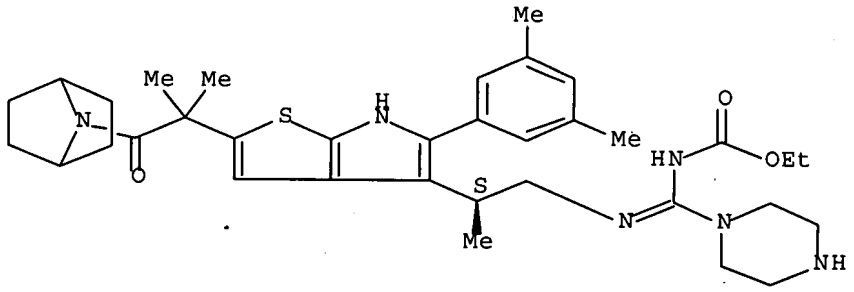
RN 667940-68-3 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino]thioxomethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



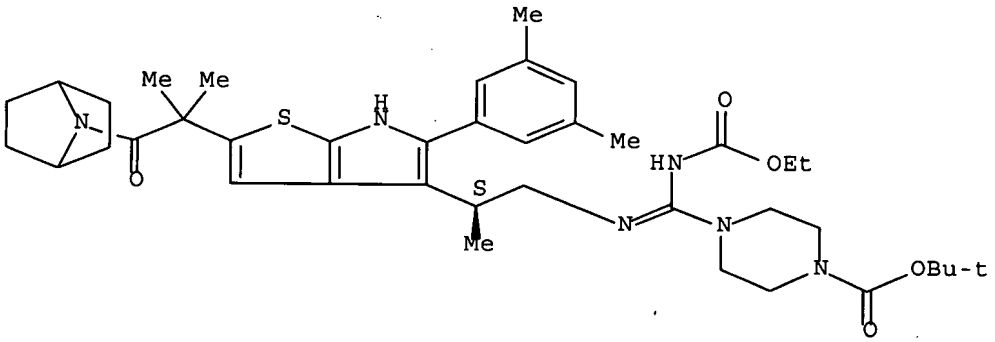
RN 667940-69-4 CAPLUS
CN Carbamic acid, [[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino]-1-piperazinylmethylene]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



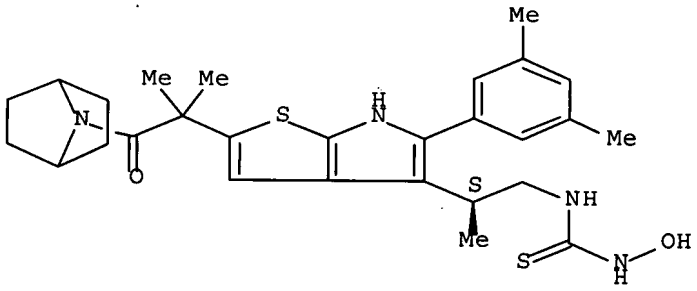
RN 667940-70-7 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-2-[2-[2-(7-azabicyclo[2.2.1]hept-7-yl)-1,1-dimethyl-2-oxoethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-4-yl]propyl]amino][(ethoxycarbonyl)imino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 667940-72-9 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-2-[[(hydroxyamino)thioxomethyl]amino]-1-methylethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

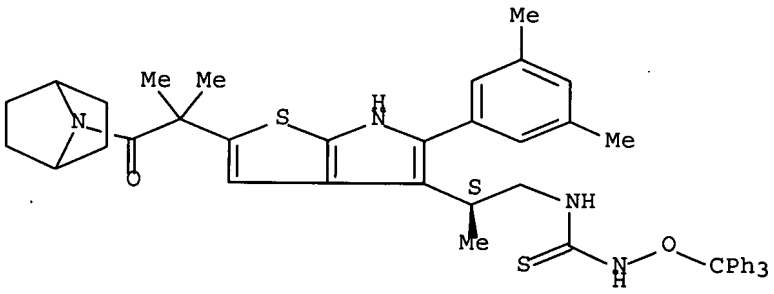
Absolute stereochemistry.



RN 667940-73-0 CAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-

2-[[thioxo[(triphenylmethoxy)amino]methyl]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

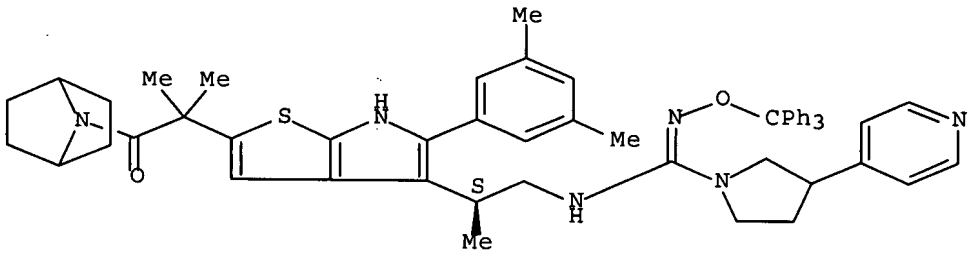
Absolute stereochemistry.



RN 667940-74-1 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[(1S)-1-methyl-2-[[[3-(4-pyridinyl)-1-pyrrolidinyl][(triphenylmethoxy)amino]methylene]amino]ethyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



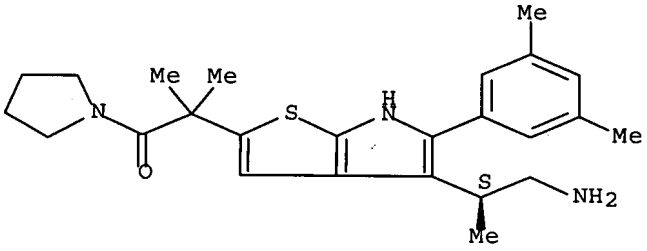
IT **667940-76-3**

RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of thieno-pyrrole derivs. with gonadotropin releasing hormone antagonist activity)

RN 667940-76-3 CAPLUS

CN Pyrrolidine, 1-[2-[4-[(1S)-2-amino-1-methylethyl]-5-(3,5-dimethylphenyl)-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2
DICTIONARY FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

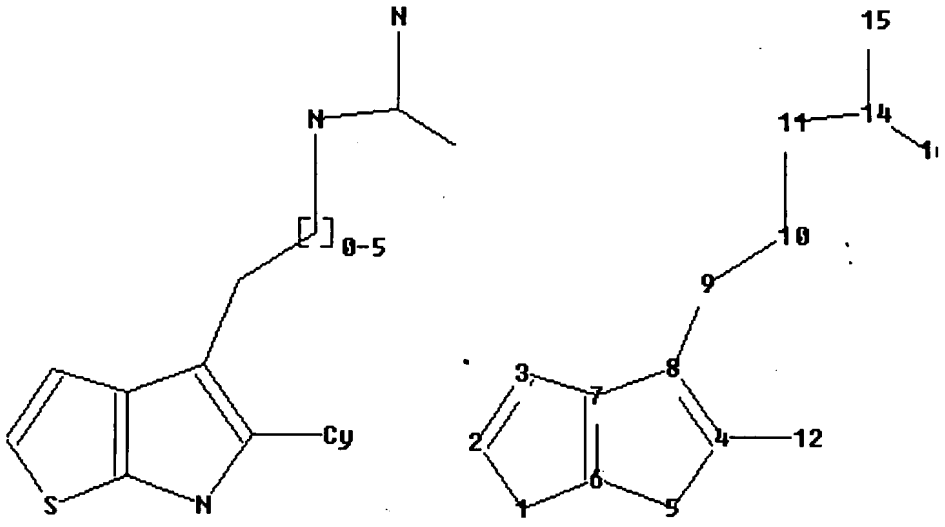
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

*** YOU HAVE NEW MAIL ***

=>
Uploading C:\Program Files\Stnexp\Queries\1052509b.str



chain nodes :

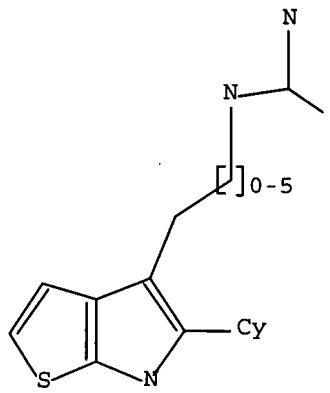
```
9  10  11  12  14  15  16
ring nodes :
1  2  3  4  5  6  7  8
chain bonds :
4-12  8-9  9-10  10-11  11-14  14-15  14-16
ring bonds :
1-2  1-6  2-3  3-7  4-5  4-8  5-6  6-7  7-8
exact/norm bonds :
1-2  1-6  2-3  3-7  4-5  4-8  4-12  5-6  6-7  7-8  10-11  11-14  14-15
exact bonds :
8-9  9-10  14-16
isolated ring systems :
containing 1 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:CLASS  10:CLASS
11:CLASS  12:Atom  14:CLASS  15:CLASS  16:CLASS



L8      STRUCTURE UPLOADED

=> d l8
L8 HAS NO ANSWERS
L8              STR
```




```
Structure attributes must be viewed using STN Express query preparation.


=> s l8
SAMPLE SEARCH INITIATED 10:09:56 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        0 TO ITERATE

100.0% PROCESSED         0 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0
```

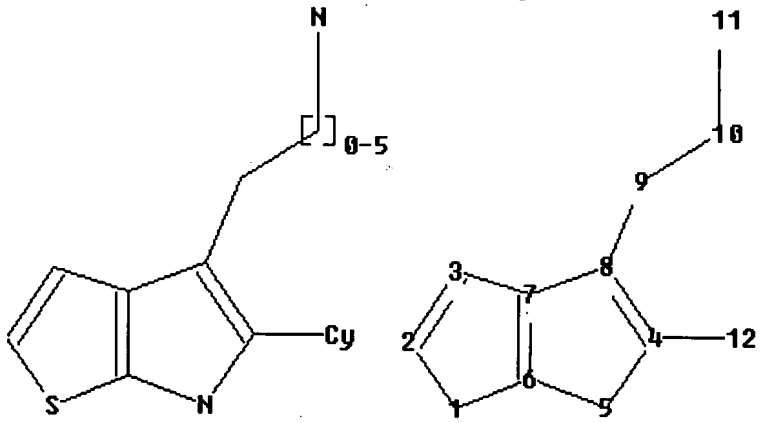
L9 0 SEA SSS SAM L8

=> s l8 sss full
FULL SEARCH INITIATED 10:10:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L10 0 SEA SSS FUL L8

=>
Uploading C:\Program Files\Stnexp\Queries\10525109c.str

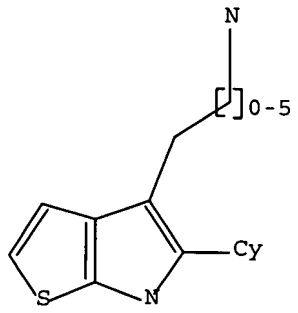


chain nodes :
9 10 11 12
ring nodes :
1 2 3 4 5 6 7 8
chain bonds :
4-12 8-9 9-10 10-11
ring bonds :
1-2 1-6 2-3 3-7 4-5 4-8 5-6 6-7 7-8
exact/norm bonds :
1-2 1-6 2-3 3-7 4-5 4-8 4-12 5-6 6-7 7-8 10-11
exact bonds :
8-9 9-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:Atom

L11 STRUCTURE UPLOADED

=> d l11
L11 HAS NO ANSWERS
L11 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l11
SAMPLE SEARCH INITIATED 10:11:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       40 TO ITERATE

100.0% PROCESSED       40 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          421 TO     1179
PROJECTED ANSWERS:               3 TO      163

L12           3 SEA SSS SAM L11

=> s l11 sss full
FULL SEARCH INITIATED 10:11:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      644 TO ITERATE

100.0% PROCESSED      644 ITERATIONS                               83 ANSWERS
SEARCH TIME: 00.00.01

L13          83 SEA SSS FUL L11

=> d his

     (FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007)

     FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007
L1              STRUCTURE UPLOADED
L2            3 S L1
L3           82 S L1 SSS FULL
L4              STRUCTURE UPLOADED
L5            0 S L4
L6            0 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007
L7            4 S L3

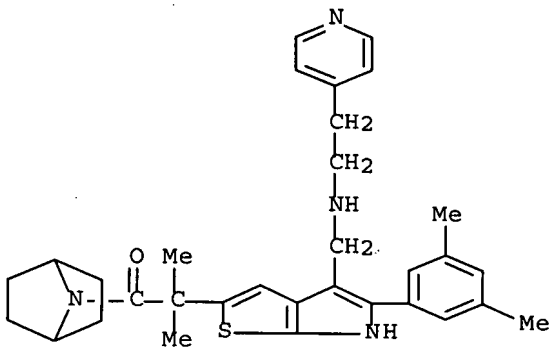
     FILE 'REGISTRY' ENTERED AT 10:09:38 ON 29 MAY 2007
L8              STRUCTURE UPLOADED
L9            0 S L8
L10           0 S L8 SSS FULL
```

```
L11              STRUCTURE UPLOADED
L12            3 S L11
L13           83 S L11 SSS FULL

=> s l13 not l3
L14            1 L13 NOT L3

=> d l14

L14  ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2007 ACS on STN
RN   666852-42-2  REGISTRY
ED   Entered STN:  24 Mar 2004
CN   7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[[[2-(4-
     pyridinyl)ethyl]amino]methyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-
     oxopropyl]- (9CI)  (CA INDEX NAME)
MF   C32 H38 N4 O S
SR   CA
LC   STN Files:   CA, CAPLUS, USPAT2, USPATFULL
```




```
**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

              1 REFERENCES IN FILE CA (1907 TO DATE)
              1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FIL CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   347.50     724.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -3.90

FILE 'CAPLUS' ENTERED AT 10:12:32 ON 29 MAY 2007
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FILE COVERS 1907 - 29 May 2007 VOL 146 ISS 23
FILE LAST UPDATED: 28 May 2007 (20070528/ED)

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They are available for your review at:

http://www.cas.org/infopolicy.html

=> d his

(FILE 'HOME' ENTERED AT 10:00:25 ON 29 MAY 2007)

FILE 'REGISTRY' ENTERED AT 10:00:33 ON 29 MAY 2007
L1 STRUCTURE UPLOADED
L2 3 S L1
L3 82 S L1 SSS FULL
L4 STRUCTURE UPLOADED
L5 0 S L4
L6 0 S L4 SSS FULL

FILE 'CAPLUS' ENTERED AT 10:03:24 ON 29 MAY 2007
L7 4 S L3

FILE 'REGISTRY' ENTERED AT 10:09:38 ON 29 MAY 2007
L8 STRUCTURE UPLOADED
L9 0 S L8
L10 0 S L8 SSS FULL
L11 STRUCTURE UPLOADED
L12 3 S L11
L13 83 S L11 SSS FULL
L14 1 S L13 NOT L3

FILE 'CAPLUS' ENTERED AT 10:12:32 ON 29 MAY 2007

=> s l14
L15 1 L14

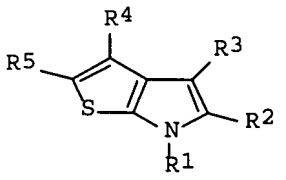
=> d l15 ibib abs hitstr

L15 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:182888 CAPLUS Full-text
DOCUMENT NUMBER: 140:235695
TITLE: Preparation of 6H-thieno[2,3-b]pyrrole derivatives as antagonists of gonadotropin-releasing hormone (GnRh) for treating sex hormone related conditions
INVENTOR(S): Foote, Kevin Michael; Matusiak, Zbigniew; Dossetter, Alexander Graham; Arnould, Jean Claude; Lamorlette, Maryannick Andree; Delouvrie, Benedicte; Hamon, Annie
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

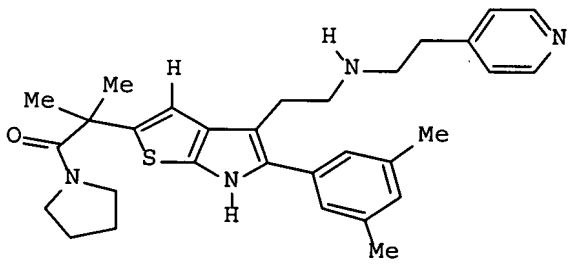
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004018480	A1	20040304	WO 2003-GB3631		20030819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2003255818	A1	20040311	AU 2003-255818		20030819
EP 1543012	A1	20050622	EP 2003-792485		20030819
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006501232	T	20060112	JP 2004-530360		20030819
US 2006004082	A1	20060105	US 2005-524978		20050218
US 7132442	B2	20061107			
US 2006235067	A1	20061019	US 2006-380961		20060501
PRIORITY APPLN. INFO.:			EP 2002-292074	A	20020821
			WO 2003-GB3631	W	20030819
			US 2005-524978	A3	20050218

OTHER SOURCE(S): MARPAT 140:235695
GI



I



II

AB Title compds. I [R1 = H, (un)substituted-alkyl, -alkanoyl, -aryl, or -arylalkyl; R2 = (un)substituted mono or bicyclic aromatic ring; R3 = arylalkylaminoalkyl, arylheterocyclylalkyl, heterocyclylheterocyclylalkyl, etc.; R4 = H, (un)substituted-alkyl, -aryl, CN, halo, etc.; R5 = heterocyclylcarbonylalkyl, halo, H, etc.] and their pharmaceutically acceptable salts are prepared and disclosed as gonadotropin releasing hormone antagonists. Thus, e.g., II, was prepared in a multistep synthesis from Et

thiophen-2-ylacetate. In test assays, I possessed activity at concns. from 1nM to 5 μM.

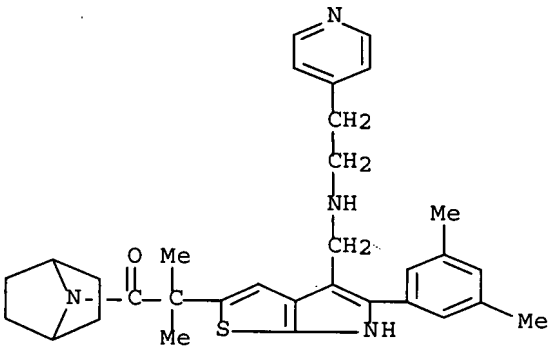
IT **666852-42-2P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienopyrroles as antagonists of gonadotropin releasing hormone)

RN 666852-42-2 CAPLUS

CN 7-Azabicyclo[2.2.1]heptane, 7-[2-[5-(3,5-dimethylphenyl)-4-[[[2-(4-pyridinyl)ethyl]amino]methyl]-6H-thieno[2,3-b]pyrrol-2-yl]-2-methyl-1-oxopropyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.85	736.16

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.78	-4.68

FILE 'REGISTRY' ENTERED AT 10:20:40 ON 29 MAY 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2
DICTIONARY FILE UPDATES: 28 MAY 2007 HIGHEST RN 935999-19-2

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